REM-3C70

Access DB# 134739

# SEARCH REQUEST FORM

Scientific and Technical Information Center

OCT-8 2004

Requester's Full Name: K. Weddington Examiner #: 68082 Date: 10-7-04

Art Unit: 3614 Phone Number 30 272-0587 Serial Number: 10/606,632

Mail Box and Bldg/Room Location: _____ Results Format Preferred (circle): PAPER DISK E-MAIL

**If more than one search is submitted, please prioritize searches in order of need.** ME

**********

Please provide a detailed statement of the search topic, and describe as specifically as possible the subject matter to be searched. Include the elected species or structures, keywords, synonyms, acronyms, and registry numbers, and combine with the concept or utility of the invention. Define any terms that may have a special meaning. Give examples or relevant citations, authors, etc, if known. Please attach a copy of the cover sheet, pertinent claims, and abstract.

Title of Invention: _____

Inventors (please provide full names): _____

_____

Earliest Priority Filing Date: _____

**For Sequence Searches Only* Please include all pertinent information (parent, child, divisional, or issued patent numbers) along with the appropriate serial number.*

A composition comprising

1) a diuretic

2) an insulin sensitizer

OCT-8 2004 GE/A

The ~~dine~~ diuretic is selected from acetazolamide, azosemide amiloride, isosorbide, etacrynic acid, potassium canrenoate chlortalidone, cyclopentiazide, spironolactone, torasemide, triameterene, trichlormethiazide, hydrochlorothiazide, piretanide furosemide

The insulin sensitizer selected from troglitazone, pioglitazone, rosiglitazone, JTT-501, MCC-555, GI-262570, YM-440, KAP-297, T-174, NC-2100, BMS-298585, AZ-242, NN-622

**********

| STAFF USE ONLY | Type of Search | Vendors and cost where applicable |
|---|---|---|
| Searcher: Beverly 2528 | NA Sequence (#)_____ | STN ✓ |
| Searcher Phone #: _____ | AA Sequence (#)_____ | Dialog _____ |
| Searcher Location: _____ | Structure (#) _____ | Queste:Orbit _____ |
| Date Searcher Picked Up: _____ | Bibliographic _____ | Dr.Link _____ |
| Date Completed: _____ | Litigation _____ | Lexis/Nexis _____ |
| Searcher Prep & Review Time: _____ | Fulltext _____ | Sequence Systems _____ |
| Clerical Prep Time: _____ | Patent Family _____ | WWW/Internet _____ |
| Online Time: _____ | Other _____ | Other (specify) _____ |

PTO-1590 (1-2000)

Weddington
10/606632



FILE 'REGISTRY' ENTERED AT 10:44:53 ON 08 OCT 2004

L1 11 S (ACETAZOLAMIDE OR AZOSEMIDE OR AMILORIDE OR ISOSORBIDE OR POT
E ETACRYNIC ACID/CN 5
L2 1 S E3
E CYCLOPENTIAZIDE/CN 5
L3 1 S E2
E TRIAMTERENE/CN 5
L4 1 S E3
L5 14 S L1 OR L2 OR L3 OR L4
L6 3 S (TROGLITAZONE OR PIOGLITAZONE OR ROSIGLITAZONE OR "JTT-501" O
L7 11 S ("JTT 501" OR "MCC 555" OR "GI 262570" OR "YM 440" OR "KRP 29
L8 14 S L6 OR L7

FILE 'HCAPLUS' ENTERED AT 10:51:01 ON 08 OCT 2004

L1 11 SEA FILE=REGISTRY ABB=ON PLU=ON (ACETAZOLAMIDE OR AZOSEMIDE OR AMILORIDE OR ISOSORBIDE OR POTASSIUM CANRENOATE OR CHLORTALIDONE OR CYCLOPENTIAZIDE OR SPIRONOLACTONE OR TORASEMIDE OR TRIAMETERENE OR TRICHLORMETHIAZIDE OR HYDROCHLOROTHIAZIDE OR PIRETAMIDE OR FUROSEMIDE)/CN

L2 1 SEA FILE=REGISTRY ABB=ON PLU=ON "ETACRYNIC ACID"/CN

L3 1 SEA FILE=REGISTRY ABB=ON PLU=ON CYCLOPENTIAZID/CN

L4 1 SEA FILE=REGISTRY ABB=ON PLU=ON TRIAMTERENE/CN

L5 14 SEA FILE=REGISTRY ABB=ON PLU=ON L1 OR L2 OR L3 OR L4

L6 3 SEA FILE=REGISTRY ABB=ON PLU=ON (TROGLITAZONE OR PIOGLITAZONE OR ROSIGLITAZONE OR "JTT-501" OR "MCC-555" OR "GI-262570" OR "YM-440" OR "KRP-297" OR "T-174" OR "NC-2100" OR "BMS-298585" OR "AZ-242" OR "NN-622")/CN

L7 11 SEA FILE=REGISTRY ABB=ON PLU=ON ("JTT 501" OR "MCC 555" OR "GI 262570" OR "YM 440" OR "KRP 297" OR "T 174" OR "NC 2100" OR "BMS 298585" OR "AZ 242" OR "NN 622")/CN

L8 14 SEA FILE=REGISTRY ABB=ON PLU=ON L6 OR L7

L9 2929 SEA FILE=HCAPLUS ABB=ON PLU=ON L8 OR TROGLITAZONE OR PIOGLITAZONE OR ROSIGLITAZONE OR "JTT 501" OR "MCC 555" OR "GI 262570" OR "YM 440" OR "KRP 297" OR "T 174" OR "NC 2100" OR "BMS 298585" OR "AZ 242" OR "NN 622"

L10 75 SEA FILE=HCAPLUS ABB=ON PLU=ON "JTT501" OR "MCC555" OR "GI262570" OR "YM440" OR "KRP297" OR "T174" OR "NC2100" OR "BMS298585" OR "AZ242" OR "NN622"

L11 2942 SEA FILE=HCAPLUS ABB=ON PLU=ON L9 OR L10

L12 24590 SEA FILE=HCAPLUS ABB=ON PLU=ON ACETAZOLAMIDE OR AZOSEMIDE OR AMILORIDE OR ISOSORBIDE OR POTASSIUM CANRENOATE OR CHLORTALIDONE OR CYCLOPENTIAZIDE OR SPIRONOLACTONE OR TORASEMIDE OR TRIAMETERENE OR TRICHLORMETHIAZIDE OR HYDROCHLOROTHIAZIDE OR PIRETAMIDE OR FUROSEMIDE

L13 3358 SEA FILE=HCAPLUS ABB=ON PLU=ON ETHACRYNIC OR ETACRYNIC OR CYCLOPENTHIAZIDE OR TRIAMTERENE OR (K OR POTASSIUM)(W)CANRENOATE OR CYCLO(W)(PENTIAZID# OR PENTHIAZIDE) OR CYCLOPENTIAZID OR SPIRONO LACTONE OR (TRICHLOR OR TRI CHLOR)(W)METHIAZIDE OR TRI CHLORMETHIAZIDE

L14 3334 SEA FILE=HCAPLUS ABB=ON PLU=ON HYDROCHLOROTHIAZIDE OR HYDRO(W)(CHLOROTHIAZIDE OR CHLORO THIAZIDE) OR HYDROCHLORO THIAZIDE

L15 57 SEA FILE=HCAPLUS ABB=ON PLU=ON L11 AND (L5 OR L12 OR L13 OR L14)

L16 54779 SEA FILE=HCAPLUS ABB=ON PLU=ON (MEDIC? OR PHARMACEUT? OR DRUG)(5A)(COMPOSITION OR COMP##)

Searcher : Shears 571-272-2528

L17 23 SEA FILE=HCAPLUS ABB=ON PLU=ON L15 AND L16

L17 ANSWER 1 OF 23 HCAPLUS COPYRIGHT 2004 ACS on STN
ED Entered STN: 30 Jul 2004
ACCESSION NUMBER: 2004:610104 HCAPLUS
DOCUMENT NUMBER: 141:134092
TITLE: Telmisartan-simvastatin combination for the prophylaxis or treatment of cardiovascular, cardiopulmonary, pulmonary, or renal diseases
INVENTOR(S): Riedel, Axel; Sendra, Josep-Maria; Leiter, Josef M. E.; Kauschke, Stefan; Mark, Michael
PATENT ASSIGNEE(S): Boehringer Ingelheim International GmbH, Germany; Boehringer Ingelheim Pharma GmbH & Co. Kg
SOURCE: PCT Int. Appl., 43 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | | DATE |
|---|---|---|---|---|---|
| WO 2004062729 | A1 | 20040729 | WO 2004-EP175 | | 20040114 |
| W: AE, AE, AG, AL, AL, AM, AM, AM, AT, AT, AU, AU, AZ, AZ, BA, BB, BG, BG, BR, BR, BW, BY, BY, BZ, BZ, CA, CH, CN, CN, CO, CO, CR, CR, CU, CU, CZ, CZ, DK, DK, DM, DZ, EC, EC, EE, EE, EG, ES, ES, FI, FI, GB, GD, GE, GE, GH, GH, GH, GM, HR, HR, HU, HU, ID, IL, IN, IS, JP, JP, KE, KE, KG, KG, KP, KP, KP, KR, KR, KZ, KZ, KZ, LC, LK, LR, LS, LS, LT, LU, LV, MA, MD, MD, MG, MK, MN, MW, MX, MX, MZ, MZ, NA | | | | | |
| DE 10301372 | A1 | 20040729 | DE 2003-10301372 | | 20030116 |
| PRIORITY APPLN. INFO.: | | | DE 2003-10301372 | A | 20030116 |
| | | | DE 2003-10335027 | A | 20030731 |

AB The invention discloses a method for the prophylaxis or treatment of cardiovascular, cardiopulmonary, pulmonary or renal diseases, achieved by the improvement of endothelial function and the protection of organs, tissues and vessels when indications require a blood pressure check and a lipid level check, especially in patients that have been diagnosed with type 2 diabetes mellitus or if prediabetes is suspected. The method is also used for preventing diabetes and prediabetes and for the treatment of metabolic syndrome and insulin resistance in patients with normal blood pressure. The method involves the combined administration of effective quantities of telmisartan, or a polymorph or salt thereof, and simvastatin. The invention also discloses suitable **pharmaceutical compns**. containing telmisartan, or a polymorph or salt thereof, and simvastatin, as a combined preparation for simultaneous, sep., or sequential use in the prophylaxis or treatment of the above diseases. Preparation of the sodium salt of telmisartan is described.

IT **122320-73-4, Rosiglitazone**
RL: PAC (Pharmacological activity); BIOL (Biological study)
(telmisartan-simvastatin combination for prophylaxis and treatment of cardiovascular, cardiopulmonary, pulmonary, and renal diseases)

IT **58-93-5, Hydrochlorothiazide 77-36-1,**

Chlorthalidone
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(telmisartan-simvastatin combination for prophylaxis and treatment of cardiovascular, cardiopulmonary, pulmonary, and renal diseases, and use with other agents)

L17 ANSWER 2 OF 23 HCAPLUS COPYRIGHT 2004 ACS on STN
ED Entered STN: 29 Jul 2004
ACCESSION NUMBER: 2004:606351 HCAPLUS
DOCUMENT NUMBER: 141:134089
TITLE: Telmisartan-atorvastatin combination for the prophylaxis or treatment of cardiovascular, cardiopulmonary, pulmonary, or renal diseases
INVENTOR(S): Riedel, Axel; Sendra, Josep-Maria; Leiter, Josef M. E.; Kauschke, Stefan; Mark, Michael
PATENT ASSIGNEE(S): Boehringer Ingelheim International GmbH, Germany; Boehringer Ingelheim Pharma GmbH & Co. Kg
SOURCE: PCT Int. Appl., 40 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| WO 2004062557 | A2 | 20040729 | WO 2004-EP174 | 20040114 |
| WO 2004062557 | A3 | 20040916 | | |
| W: AE, AE, AG, AL, AL, AM, AM, AM, AT, AT, AU, AU, AZ, AZ, BA, BB, BG, BG, BR, BR, BW, BY, BY, BZ, BZ, CA, CH, CN, CN, CO, CO, CR, CR, CU, CU, CZ, CZ, DK, DK, DM, DZ, EC, EC, EE, EE, EG, ES, ES, FI, FI, GB, GD, GE, GE, GH, GH, GH, GM, HR, HR, HU, HU, ID, IL, IN, IS, JP, JP, KE, KE, KG, KG, KP, KP, KP, KR, KR, KZ, KZ, KZ, LC, LK, LR, LS, LS, LT, LU, LV, MA, MD, MD, MG, MK, MN, MW, MX, MX, MZ, MZ, NA | | | | |
| DE 10301371 | A1 | 20040805 | DE 2003-10301371 | 20030116 |
| PRIORITY APPLN. INFO.: | | | DE 2003-10301371 A | 20030116 |
| | | | DE 2003-10335027 A | 20030731 |

AB The invention discloses a method for the prophylaxis or treatment of cardiovascular, cardiopulmonary, pulmonary, or renal diseases, achieved by the improvement of endothelial function and the protection of organs, tissues and vessels when indications require a blood pressure check and a lipid level check, especially in patients that have been diagnosed with type 2 diabetes mellitus or if prediabetes is suspected. The method is also used for preventing diabetes and prediabetes and for the treatment of metabolic syndrome and insulin resistance in patients with normal blood pressure. The method involves the combined administration of effective amts. of telmisartan, or a polymorph or salt thereof, and atorvastatin. The invention also discloses suitable **pharmaceutical compns**. containing telmisartan, or a polymorph or salt thereof, and atorvastatin, as a combined preparation for simultaneous, sep. or sequential use in the prophylaxis or treatment of the above diseases. Preparation of the sodium salt

of telmisartan is described.

IT **122320-73-4, Rosiglitazone**
RL: PAC (Pharmacological activity); BIOL (Biological study)
(telmisartan-atorvastatin combination for prophylaxis and treatment of cardiovascular, cardiopulmonary, pulmonary, and renal diseases)

IT **58-93-5, Hydrochlorothiazide 77-36-1,**
Chlorthalidone
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(telmisartan-atorvastatin combination for prophylaxis and treatment of cardiovascular, cardiopulmonary, pulmonary, and renal diseases, and use with other agents)

L17 ANSWER 3 OF 23 HCAPLUS COPYRIGHT 2004 ACS on STN
ED Entered STN: 22 Feb 2004
ACCESSION NUMBER: 2004:142902 HCAPLUS
DOCUMENT NUMBER: 140:187404
TITLE: Electrospun amorphous **pharmaceutical compositions**
INVENTOR(S): Ignatious, Francis; Sun, Linghong
PATENT ASSIGNEE(S): Smithkline Beecham Corporation, USA
SOURCE: PCT Int. Appl., 36 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| WO 2004014304 | A2 | 20040219 | WO 2003-US24641 | 20030807 |
| WO 2004014304 | A3 | 20040624 | | |

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU

RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: US 2002-401726P P 20020807

AB The present invention is directed to use of electrospinning, i.e. the process of making polymer nanofibers from either a solution or melt under elec. forces, to prepare stable, solid dispersions of amorphous drugs in polymer nanofibers. Thus, carvedilol-HBr monohydrate wa dissolved in THF and water. The solution was added to Polyox WSR1105 in MeCN solution This solution was spun to give nanofibers, and the morphol. of the drug was shown to be amorphous.

IT **58-93-5, Hydrochlorothiazide 122320-73-4, Rosiglitazone**
RL: PRP (Properties); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(electrospun amorphous **pharmaceutical compns.**)

L17 ANSWER 4 OF 23 HCAPLUS COPYRIGHT 2004 ACS on STN
ED Entered STN: 26 Jan 2004
ACCESSION NUMBER: 2004:60341 HCAPLUS
DOCUMENT NUMBER: 140:117406
TITLE: Liquid dosage **compositions** of stable nanoparticulate **drugs**
INVENTOR(S): Bosch, William H.; Hilborn, Matthew R.; Hovey, Douglas C.; Kline, Laura J.; Lee, Robert W.; Pruitt, John D.; Ryde, Niels P.; Ryde, Tuula A.; Xu, Shuqian
PATENT ASSIGNEE(S): Elan Pharma International, Ltd, Ire.
SOURCE: PCT Int. Appl., 68 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 15
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| WO 2004006959 | A1 | 20040122 | WO 2003-US22187 | 20030716 |

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: US 2002-396530P P 20020716
AB The present invention relates to liquid dosage **compns.** of stable nanoparticulate **drugs.** The liquid dosage compns. of the invention include osmotically active crystal growth inhibitors that stabilize the nanoparticulate active agents against crystal and particle size growth of the drug. Thus, an aqueous nanoparticulate colloidal dispersion (NCD) comprising drug 32.5 Copovidone 6.5, and dioctyl sodium sulfosuccinate 0.464% by weight was prepared by milling for 3.8 h under high energy milling conditions. The final mean particle size (by weight) of the drug particles was 161 nm. The concentrated NCD was then diluted with preserved water and glycerol (the osmotically active crystal growth inhibitor) to 0.5-3.0% drug.
IT **396-01-0, Triamterene 2609-46-3, Amiloride 97322-87-7, Troglitazone**
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(liquid dosage **compns.** of stable nanoparticulate **drugs**
)
REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L17 ANSWER 5 OF 23 HCAPLUS COPYRIGHT 2004 ACS on STN
ED Entered STN: 23 Jan 2004
ACCESSION NUMBER: 2004:56700 HCAPLUS
DOCUMENT NUMBER: 141:150902

TITLE: Human liver aldehyde oxidase: inhibition by 239 drugs
AUTHOR(S): Obach, R. Scott; Huynh, Phuong; Allen, Mary C.; Beedham, Christine
CORPORATE SOURCE: Groton Laboratories, Pfizer Global Research and Development, Groton, CT, USA
SOURCE: Journal of Clinical Pharmacology (2004), 44(1), 7-19
CODEN: JCPCBR; ISSN: 0091-2700
PUBLISHER: Sage Publications
DOCUMENT TYPE: Journal
LANGUAGE: English

AB The authors tested 239 frequently used **drugs** and other **compds**. for their potential to inhibit the drug-metabolizing enzyme, aldehyde oxidase, in human liver cytosol. A sensitive, moderate throughput HPLC-MS assay was developed for 1-phthalazinone, the aldehyde oxidase-catalyzed product of phthalazine oxidation Inhibition of this activity was examined for the 239 **drugs** and other **compds**. of interest at a test concentration of 50 μM. Thirty-six compds. exhibited greater than 80% inhibition and were further examined for measurement of IC50. The most potent inhibitor observed was the selective estrogen receptor modulator, raloxifene (IC50 = 2.9 nM), and tamoxifen, estradiol, and ethinyl estradiol were also potent inhibitors. Other classes of drugs that demonstrated inhibition of aldehyde oxidase included phenothiazines, tricyclic antidepressants, tricyclic atypical antipsychotic agents, and dihydropyridine calcium channel blockers, along with some other drugs, including loratadine, cyclobenzaprine, amodiaquine, maprotiline, ondansetron, propafenone, domperidone, quinacrine, ketoconazole, verapamil, tacrine, and salmeterol. These findings are discussed in context to potential drug interactions that could be observed between these agents and drugs for which aldehyde oxidase is involved in metabolism and warrant investigation of the possibility of clin. drug interactions mediated by inhibition of this enzyme.

IT **111025-46-8, Pioglitazone**
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(antidiabetic agent **pioglitazone** ineffective in inhibition of human liver aldehyde oxidase)

IT **122320-73-4, Rosiglitazone**
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(antidiabetic agent **rosiglitazone** ineffective in inhibition of human liver aldehyde oxidase)

IT **54-31-9, Furosemide**
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(diuretic agent **furosemide** ineffective in inhibition of human liver aldehyde oxidase)

IT **58-93-5, Hydrochlorothiazide**
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(diuretic **hydrochlorothiazide** ineffective in inhibition of human liver aldehyde oxidase)

IT **52-01-7, Spironolactone**
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(diuretic **spironolactone** ineffective in inhibition of human liver aldehyde oxidase)

IT **56211-40-6, Torasemide**
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(diuretic **torasemide** ineffective in inhibition of human liver aldehyde oxidase)

IT **396-01-0, Triamterene**
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(diuretic **triamterene** ineffective in inhibition of human liver aldehyde oxidase)

REFERENCE COUNT: 29 THERE ARE 29 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L17 ANSWER 6 OF 23 HCAPLUS COPYRIGHT 2004 ACS on STN
ED Entered STN: 14 Sep 2003
ACCESSION NUMBER: 2003:719286 HCAPLUS
DOCUMENT NUMBER: 139:235443
TITLE: Immediate-release **pharmaceutical** granule **compositions** containing cellulose and polymer
INVENTOR(S): Remon, Jean-paul; Vervaet, Kris
PATENT ASSIGNEE(S): Universiteit Gent, Belg.
SOURCE: PCT Int. Appl., 30 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| WO 2003074031 | A1 | 20030912 | WO 2003-BE40 | 20030305 |

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: GB 2002-5253 A 20020306

AB An immediate-release **pharmaceutical** granule **composition** comprises at least one **drug** classifiable as Class II or Class IV of the Biopharmaceutical Classification System, wherein the the drug constitutes 0.5% and up to about 20% by weight of the composition, the composition further comprising a first excipient selected from the group consisting of blends of a microcryst. cellulose and a swellable polymer in amts. such that the weight ratio of the the polymer to the microcryst. cellulose in the blend is above about 2:100 and up to about 30:100. The composition contains 1 or more dextrin-containing compds. selected from the group consisting of

maltodextrins, cyclodextrins and derivs. thereof, and mixts. of the dextrin-containing compds. and the blends, and a wetting amount of a second excipient being a nonaq. wetting compound or meltable compound and comprising
a solid fraction and optionally a liquid fraction. Thus, a formulation contained **hydrochlorothiazide** (low water-soluble) 100, PEG-400 52.5, PEG-4000 187.5, maltodextrin 622.5, and xanthan gum 37.5 g.

IT **52-01-7, Spironolactone 54-31-9, Furosemide 58-54-8, Ethacrynic** acid **58-93-5, HydroChlorothiazide 77-36-1,** Chlorthalidone **97322-87-7, Troglitazone**
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(immediate-release **pharmaceutical** granule **compns.** containing cellulose and polymer)

REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L17 ANSWER 7 OF 23 HCAPLUS COPYRIGHT 2004 ACS on STN
ED Entered STN: 14 Mar 2003
ACCESSION NUMBER: 2003:202410 HCAPLUS
DOCUMENT NUMBER: 138:226705
TITLE: Novel pharmaceuticals comprising drug conjugates with polypeptide carriers
INVENTOR(S): Picariello, Thomas
PATENT ASSIGNEE(S): New River Pharmaceuticals Inc., USA
SOURCE: PCT Int. Appl., 2059 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 11
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| WO 2003020200 | A2 | 20030313 | WO 2001-US43117 | 20011116 |
| WO 2003020200 | A3 | 20030912 | | |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM | | | | |
| RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG | | | | |
| EP 1357928 | A2 | 20031105 | EP 2001-273387 | 20011116 |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR | | | | |

PRIORITY APPLN. INFO.:

| | | |
|---|---|---|
| US 2000-248600P | P | 20001116 |
| US 2000-248601P | P | 20001116 |
| US 2000-248603P | P | 20001116 |
| US 2000-248604P | P | 20001116 |
| US 2000-248606P | P | 20001116 |
| US 2000-248607P | P | 20001116 |
| US 2000-248608P | P | 20001116 |
| US 2000-248609P | P | 20001116 |

| | | |
|---|---|---|
| US 2000-248611P | P | 20001116 |
| US 2000-248689P | P | 20001116 |
| US 2000-248691P | P | 20001116 |
| US 2000-248692P | P | 20001116 |
| US 2000-248693P | P | 20001116 |
| US 2000-248694P | P | 20001116 |
| US 2000-248695P | P | 20001116 |
| US 2000-248696P | P | 20001116 |
| US 2000-248697P | P | 20001116 |
| US 2000-248698P | P | 20001116 |
| US 2000-248701P | P | 20001116 |
| US 2000-248702P | P | 20001116 |
| US 2000-248703P | P | 20001116 |
| US 2000-248704P | P | 20001116 |
| US 2000-248705P | P | 20001116 |
| US 2000-248706P | P | 20001116 |
| US 2000-248707P | P | 20001116 |
| US 2000-248708P | P | 20001116 |
| US 2000-248709P | P | 20001116 |
| US 2000-248710P | P | 20001116 |
| US 2000-248711P | P | 20001116 |
| US 2000-248712P | P | 20001116 |
| US 2001-248664P | P | 20011116 |
| US 2001-248665P | P | 20011116 |
| US 2001-248666P | P | 20011116 |
| US 2001-248667P | P | 20011116 |
| US 2001-248668P | P | 20011116 |
| US 2001-248669P | P | 20011116 |
| US 2001-248671P | P | 20011116 |
| US 2001-248672P | P | 20011116 |
| US 2001-248673P | P | 20011116 |
| US 2001-248674P | P | 20011116 |
| US 2001-248675P | P | 20011116 |
| US 2001-248676P | P | 20011116 |
| US 2001-248677P | P | 20011116 |
| US 2001-248678P | P | 20011116 |
| US 2001-248679P | P | 20011116 |
| US 2001-248680P | P | 20011116 |
| US 2001-248681P | P | 20011116 |
| US 2001-248682P | P | 20011116 |
| US 2001-248683P | P | 20011116 |
| US 2001-248684P | P | 20011116 |
| US 2001-248765P | P | 20011116 |
| US 2001-248766P | P | 20011116 |
| US 2001-248767P | P | 20011116 |
| US 2001-248773P | P | 20011116 |
| US 2001-248774P | P | 20011116 |
| US 2001-248775P | P | 20011116 |
| US 2001-248778P | P | 20011116 |
| US 2001-248780P | P | 20011116 |
| US 2001-248781P | P | 20011116 |
| US 2001-248783P | P | 20011116 |
| US 2001-248784P | P | 20011116 |
| US 2001-248785P | P | 20011116 |
| US 2001-248786P | P | 20011116 |
| US 2001-248787P | P | 20011116 |

Searcher : Shears 571-272-2528

| | | |
|---|---|---|
| US 2001-248790P | P | 20011116 |
| US 2001-248791P | P | 20011116 |
| US 2001-248792P | P | 20011116 |
| US 2001-248793P | P | 20011116 |
| US 2001-248833P | P | 20011116 |
| US 2001-248848P | P | 20011116 |
| US 2001-248849P | P | 20011116 |
| WO 2001-US43117 | W | 20011116 |

AB A **pharmaceutical composition** comprising a polypeptide and an active agent attached to said polypeptide is disclosed.

IT **52-01-7D, Spironolactone,** polypeptide conjugates
**58-93-5D, Hydrochlorothiazide,** polypeptide conjugates
**396-01-0D, Triamterene,** polypeptide conjugates
**56211-40-6D,** Torsemide, polypeptide conjugates **97322-87-7D, Troglitazone,** polypeptide conjugates **111025-46-8D, Pioglitazone,** polypeptide conjugates
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(novel pharmaceuticals comprising drug conjugates with polypeptide carriers)

L17 ANSWER 8 OF 23 HCAPLUS COPYRIGHT 2004 ACS on STN
ED Entered STN: 28 Feb 2003
ACCESSION NUMBER: 2003:154224 HCAPLUS
DOCUMENT NUMBER: 138:193294
TITLE: Expandable gastric retention device containing **pharmaceutical compositions**
INVENTOR(S): Ayres, James W.
PATENT ASSIGNEE(S): The State of Oregon Acting by and Through the State Board of Higher Education On Behalf of Oregon State University, USA
SOURCE: PCT Int. Appl., 110 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| WO 2003015745 | A1 | 20030227 | WO 2001-US46146 | 20011022 |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM | | | | |
| RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG | | | | |
| EP 1416914 | A1 | 20040512 | EP 2001-995328 | 20011022 |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR | | | | |

| PRIORITY APPLN. INFO.: | | |
|---|---|---|
| US 2001-313078P | P | 20010816 |
| WO 2001-US46146 | W | 20011022 |

AB The present application concerns gastric retention devices formed from compns. comprising polymeric materials, such as polysaccharides, and

optional addnl. materials including excipients, therapeutics, and diagnostics, that reside in the stomach for a controlled and prolonged period of time. Dry powders of xanthan gum and locust bean gum were mixed intimately were converted to dried films. The dried films were compressed with the help of specially made punches and dies. A series of dies with decreasingly narrow internal diams. were used. A punch pushes the film from one die into the next die, followed by pushing of the film by another punch into the next die. This process takes place in succession until a point is reached where the film is small enough to put into a desired capsule size.

IT **58-93-5, Hydrochlorothiazide**
RL: PKT (Pharmacokinetics); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(expandable gastric retention device containing **pharmaceutical compns.**)

IT **52-01-7, Spironolactone 54-31-9, Furosemide 59-66-5, Acetazolamide 396-01-0, Triamterene**
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(expandable gastric retention device containing **pharmaceutical compns.**)

REFERENCE COUNT: 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L17 ANSWER 9 OF 23 HCAPLUS COPYRIGHT 2004 ACS on STN
ED Entered STN: 02 Jan 2003
ACCESSION NUMBER: 2003:1215 HCAPLUS
DOCUMENT NUMBER: 138:61315
TITLE: Controlled and sustained release dosage forms containing hydrophilic carriers and diffusion enhancers
INVENTOR(S): Chhabra, Harinderpal; Sarkar, Shyamal K.
PATENT ASSIGNEE(S): USA
SOURCE: U.S., 23 pp.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| US 6500459 | B1 | 20021231 | US 1999-358732 | 19990721 |
| CA 2314298 | AA | 20010121 | CA 2000-2314298 | 20000721 |
| PRIORITY APPLN. INFO.: | | | US 1999-358732 A | 19990721 |

AB A **pharmaceutical composition** for controlled onset and sustained release of an active ingredient, comprises: (i) a core comprising: (a) an active ingredient; (b) a hydrophilic carrier; (c) a hydrodynamic diffusion enhancer; and optionally (d) conventional excipients selected from the group consisting of binders, fillers and lubricants and combinations thereof; and (ii) a functional coating membrane surrounding the core. Thus, 240 g verapamil-HCl was sieved through a mesh sieve and blended with 150 g E50 premium HPMC. To this blend was added 270.0 g croscarmellose sodium and mixed for 15 min. This blend was granulated with PVP K-29/32 solution in iso-PrOH (30% weight/weight).

The wet mass obtained in the above step was dried at 60° for 3 h. After drying, the granules were passed a mesh sieve. The granules were then mixed with 2.5 g of Magnesium Stearate and 15 g of Stearic acid in a V blender. This granule blend was compressed in a tablet press by using appropriate size tooling. The granules were then mixed with 2.5 g of Mg stearate and 15 g of stearic acid in a V blender. This granule blend was compressed in a tablet press by using appropriate size tooling. These tablets were then coated by using a perforated coating pan. A seal coating membrane was applied on the surface of tablets to achieve a weight gain of 1.66% of the weight of the core. The seal coating dispersion of Opadry Clear in water at 10% was sprayed on to the surface of the tablets by using a perforated coating pan.

IT **52-01-7, Spironolactone 54-31-9, Furosemide 58-93-5,** Hydrochlorthiazide **77-36-1**, Chlorthalidone **396-01-0, Triamterene 97322-87-7, Troglitazone**
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(controlled and sustained release dosage forms containing hydrophilic carriers and diffusion enhancers)

REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L17 ANSWER 10 OF 23 HCAPLUS COPYRIGHT 2004 ACS on STN
ED Entered STN: 04 Oct 2002
ACCESSION NUMBER: 2002:754995 HCAPLUS
DOCUMENT NUMBER: 137:268473
TITLE: Porous drug matrices and methods of manufacture thereof
INVENTOR(S): Straub, Julie; Altreuter, David; Bernstein, Howard; Chickering, Donald E.; Khattak, Sarwat; Randall, Greg
PATENT ASSIGNEE(S): Acusphere Inc., USA
SOURCE: U.S. Pat. Appl. Publ., 20 pp., Cont.-in-part of U. S. 6,395,300.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | | DATE |
|---|---|---|---|---|---|
| US 2002142050 | A1 | 20021003 | US 2002-53929 | | 20020122 |
| US 6395300 | B1 | 20020528 | US 1999-433486 | | 19991104 |
| US 6645528 | B1 | 20031111 | US 2000-694407 | | 20001023 |
| ZA 2001010347 | A | 20030730 | ZA 2001-10347 | | 20011218 |
| PRIORITY APPLN. INFO.: | | | US 1999-136323P | P | 19990527 |
| | | | US 1999-158659P | P | 19991008 |
| | | | US 1999-433486 | A2 | 19991104 |

AB Drugs, especially low aqueous solubility drugs, are provided in a porous matrix form, preferably microparticles, which enhances dissoln. of the drug in aqueous media. The drug matrixes preferably are made using a process that includes (i) dissolving a drug, preferably a drug having low aqueous solubility, in a volatile solvent to form a drug solution, (ii) combining at least one pore forming agent with the drug solution to form an emulsion, suspension, or

second solution and hydrophilic or hydrophobic excipients that stabilize the drug and inhibit crystallization, and (iii) removing the volatile solvent and pore forming agent from the emulsion, suspension, or second solution to yield the porous matrix of drug. Hydrophobic or hydrophilic excipients may be selected to stabilize the drug in crystalline form by inhibiting crystal growth or to stabilize the drug in amorphous form by preventing crystallization The pore forming agent can be either a volatile liquid that is immiscible with the **drug** solvent or a volatile solid **compound**, preferably a volatile salt. In a preferred embodiment, spray drying is used to remove the solvents and the pore forming agent. The resulting porous matrix has a faster rate of dissoln. following administration to a patient, as compared to non-porous matrix forms of the drug. In a preferred embodiment, microparticles of the porous drug matrix are reconstituted with an aqueous medium and administered parenterally, or processed using standard techniques into tablets or capsules for oral administration. Thus, 5.46 g of PEG 8000, 0.545 g of prednisone, and 0.055 g of Span 40 were dissolved in 182 mL of methylene chloride. A solution of 3.27 g of ammonium bicarbonate in 18.2 mL of water was added to the organic solution (phase ratio 1:10) and homogenized for 5 min at 16,000 RPM. The resulting emulsion was spray dried on a benchtop spray dryer using an air-atomizing nozzle and nitrogen as the drying gas.

IT **77-36-1**, Chlorthalidone **97322-87-7**, **Troglitazone**
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(porous drug matrixes and methods of manufacture thereof)

L17 ANSWER 11 OF 23 HCAPLUS COPYRIGHT 2004 ACS on STN
ED Entered STN: 26 Jul 2002
ACCESSION NUMBER: 2002:556104 HCAPLUS
DOCUMENT NUMBER: 137:109489
TITLE: Compositions comprising a polypeptide and an active agent
INVENTOR(S): Piccariello, Thomas; Olon, Lawrence P.; Kirk, Randal J.
PATENT ASSIGNEE(S): USA
SOURCE: U.S. Pat. Appl. Publ., 34 pp.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 11
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | | DATE |
|---|---|---|---|---|---|
| US 2002099013 | A1 | 20020725 | US 2001-933708 | | 20010822 |
| US 2004087483 | A1 | 20040506 | US 2002-136433 | | 20020502 |
| PRIORITY APPLN. INFO.: | | | US 2000-247556P | P | 20001114 |
| | | | US 2000-247558P | P | 20001114 |
| | | | US 2000-247559P | P | 20001114 |
| | | | US 2000-247560P | P | 20001114 |
| | | | US 2000-247561P | P | 20001114 |
| | | | US 2000-247594P | P | 20001114 |

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|---|---|---|
| US 2000-247595P | P | 20001114 |
| US 2000-247606P | P | 20001114 |
| US 2000-247607P | P | 20001114 |
| US 2000-247608P | P | 20001114 |
| US 2000-247609P | P | 20001114 |
| US 2000-247610P | P | 20001114 |
| US 2000-247611P | P | 20001114 |
| US 2000-247612P | P | 20001114 |
| US 2000-247620P | P | 20001114 |
| US 2000-247621P | P | 20001114 |
| US 2000-247634P | P | 20001114 |
| US 2000-247635P | P | 20001114 |
| US 2000-247698P | P | 20001114 |
| US 2000-247699P | P | 20001114 |
| US 2000-247700P | P | 20001114 |
| US 2000-247701P | P | 20001114 |
| US 2000-247702P | P | 20001114 |
| US 2000-247797P | P | 20001114 |
| US 2000-247798P | P | 20001114 |
| US 2000-247799P | P | 20001114 |
| US 2000-247800P | P | 20001114 |
| US 2000-247801P | P | 20001114 |
| US 2000-247802P | P | 20001114 |
| US 2000-247803P | P | 20001114 |
| US 2000-247804P | P | 20001114 |
| US 2000-247805P | P | 20001114 |
| US 2000-247807P | P | 20001114 |
| US 2000-247832P | P | 20001114 |
| US 2000-247833P | P | 20001114 |
| US 2000-247926P | P | 20001114 |
| US 2000-247927P | P | 20001114 |
| US 2000-247928P | P | 20001114 |
| US 2000-247929P | P | 20001114 |
| US 2000-247930P | P | 20001114 |
| US 2000-642820 | A2 | 20000822 |
| US 2000-248607P | P | 20001116 |
| US 2001-933708 | A2 | 20010822 |

AB Claimed are compns. comprising a polypeptide and an active agent covalently attached to the polypeptide and a method for delivery of an active agent to a patient by administering the composition to the patient. The peptide is a homopolymer of a naturally occurring amino acid or a heteropolymer of two or more naturally occurring amino acids. In an example, (Glu)n-cephalexin was prepared from Glu(OBut)NCA and cephalexin hydrochloride.

IT **52-01-7, Spironolactone 54-31-9, Furosemide 58-93-5, Hydrochlorothiazide 97322-87-7, Troglitazone**
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(compns. comprising a polypeptide and an active agent)

L17 ANSWER 12 OF 23 HCAPLUS COPYRIGHT 2004 ACS on STN
ED Entered STN: 26 Jul 2002
ACCESSION NUMBER: 2002:555334 HCAPLUS
DOCUMENT NUMBER: 137:114525
TITLE: Syntactic deformable **pharmaceutical** foam

**compositions**
INVENTOR(S): Odidi, Isa; Odidi, Amina
PATENT ASSIGNEE(S): Can.
SOURCE: PCT Int. Appl., 47 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| WO 2002056861 | A2 | 20020725 | WO 2002-CA54 | 20020117 |
| WO 2002056861 | A3 | 20021017 | | |

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

| | | | | |
|---|---|---|---|---|
| US 6800668 | B1 | 20041005 | US 2001-765783 | 20010119 |
| PRIORITY APPLN. INFO.: | | | US 2001-765783 A | 20010119 |

AB The invention relates to methods for preparing a syntactic foam composition suitable for use as a carrier for chems. or other **compds.**, including **pharmaceuticals**. Carbopol 971P, hydroxyethyl cellulose, cellulose microspheres and silica, was mixed in a high-shear mixer. The resulting admixt. was treated with 2-propanol, while simultaneously subjecting the admixt. to high-shear forces in the high-shear mixer. This mixing created a uniform stable syntactic deformable and compressible dendritic solid foam which could be shaped before drying. Metoprolol succinate was added to the above admixt. and subjected to high-shear agitation for 2 min before treatment with 2-propanol. A stable syntactic deformable and compressible dendritic solid foam which could be shaped before drying was obtained. This was dried at 40°. The dried foam was the disentangled by size reduction to obtain discrete particles. The free flowing particles were reassembled and shaped by compression in a mold. The shaped units, when subjected to an aqueous medium, released metoprolol over a period of ≤3 h.

IT **54-31-9, Furosemide 58-93-5, Hydrochlorothiazide 396-01-0, Triamterene 97322-87-7, Troglitazone**
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(syntactic deformable **pharmaceutical** foam **compns.**)

L17 ANSWER 13 OF 23 HCAPLUS COPYRIGHT 2004 ACS on STN
ED Entered STN: 05 Jul 2002
ACCESSION NUMBER: 2002:504648 HCAPLUS
DOCUMENT NUMBER: 137:83637
TITLE: **Medicinal compositions** containing diuretic and insulin resistance-improving agent
INVENTOR(S): Takaoka, Masaya; Araki, Kazushi; Kanda, Shoichi
PATENT ASSIGNEE(S): Sankyo Company, Limited, Japan

SOURCE: PCT Int. Appl., 183 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| WO 2002051441 | A1 | 20020704 | WO 2001-JP11296 | 20011221 |
| W: AU, BR, CA, CN, CO, CZ, HU, ID, IL, IN, KR, MX, NO, NZ, PH, PL, RU, SG, SK, US, VN, ZA | | | | |
| RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR | | | | |
| JP 2002255854 | A2 | 20020911 | JP 2001-386861 | 20011220 |
| EP 1354602 | A1 | 20031022 | EP 2001-271867 | 20011221 |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI, CY, TR | | | | |
| US 2004053974 | A1 | 20040318 | US 2003-606632 | 20030626 |
| PRIORITY APPLN. INFO.: | | | JP 2000-394424 A | 20001226 |
| | | | WO 2001-JP11296 W | 20011221 |

OTHER SOURCE(S): MARPAT 137:83637

AB Disclosed are **medicinal compns.** containing a diuretic and an insulin resistance-improving agent whereby side effects associating the administration of an insulin resistance-improving agent (for example, megalocardia, edema, body fluid retention, pleural effusion) can be prevented or treated. Oral administration of **furosemide** prevented increases of heart weight and blood plasma, and edema due to administration of 5-[4-(6-methoxy-1-methyl-1H-benzimidazol-2-ylmethoxy)benzyl]thiazolidine-2,4-dione hydrochloride.

IT **179068-64-5, NC 2100**
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(**NC 2100; medicinal compns.** containing diuretics and insulin resistance-improving agents)

IT **54-31-9, Furosemide 2609-46-3, Amiloride**
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(**medicinal compns.** containing diuretics and insulin resistance-improving agents)

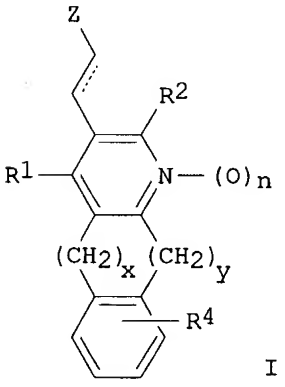
IT **52-01-7, Spironolactone 58-54-8, Ethacrynic** acid **58-93-5, Hydrochlorothiazide 59-66-5, Acetazolamide 77-36-1, Chlortalidone 133-67-5, Trichlormethiazide 396-01-0, Triamterene 652-67-5, Isosorbide 742-20-1, Cyclopenthiazide 2181-04-6, Potassium canrenoate 27589-33-9, Azosemide 56211-40-6, Torasemide 97322-87-7, Troglitazone 111025-46-8, Pioglitazone 118384-10-4, T-174 122320-73-4, Rosiglitazone 161600-01-7, MCC-555 170861-63-9, JTT-501 196808-45-4, GI 262570 199914-96-0, YM-440 213252-19-8, KRP-297 222834-21-1, NN 622 251565-85-2, AZ-242**

**331741-94-7, BMS 298585**
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(**medicinal compns.** containing diuretics and insulin resistance-improving agents)
REFERENCE COUNT: 22 THERE ARE 22 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

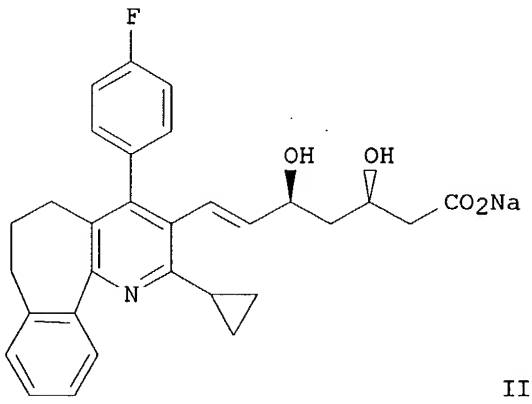
L17 ANSWER 14 OF 23 HCAPLUS COPYRIGHT 2004 ACS on STN
ED Entered STN: 24 May 2002
ACCESSION NUMBER: 2002:392237 HCAPLUS
DOCUMENT NUMBER: 136:401651
TITLE: Preparation of fused pyridine derivatives as HMG-CoA reductase inhibitors
INVENTOR(S): Robl, Jeffrey A.; Chen, Bang-Chi; Sun, Chong-Qing
PATENT ASSIGNEE(S): USA
SOURCE: U.S. Pat. Appl. Publ., 46 pp., Cont.-in-part of U.S. Ser. No. 875,218.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| US 2002061901 | A1 | 20020523 | US 2001-8154 | 20011204 |
| US 6620821 | B2 | 20030916 | | |
| US 2002028826 | A1 | 20020307 | US 2001-875218 | 20010606 |
| US 2004024216 | A1 | 20040205 | US 2003-602753 | 20030624 |
| PRIORITY APPLN. INFO.: | | | US 2000-211594P | P 20000615 |
| | | | US 2001-875218 | A2 20010606 |
| | | | US 2001-8154 | A3 20011204 |

OTHER SOURCE(S): MARPAT 136:401651
GI



I



II

AB The title **compds.** I and their **pharmaceutically** acceptable salts, esters, prodrug esters, and stereoisomers are claimed [wherein: Z = CH(OH)CH2CR7(OH)CH2CO2R3 or corresponding pyranone lactone

derivs.; n = 0, 1; x = 0, 1, 2, 3, or 4; y = 0, 1, 2, 3 or 4, provided that at least one of x and y is other than 0; and optionally one or more carbons of (CH2)x and/or (CH2)y together with addnl. carbons form a 3 to 7 membered spirocyclic ring; R1, R2 = alkyl, arylalkyl, cycloalkyl, alkenyl, cycloalkenyl, aryl, heteroaryl, cycloheteroalkyl; R3 = H or lower alkyl; R4 = H, halo, CF3, OH, alkyl, alkoxy, CO2H, (un)substituted NH2, cyano, (un)substituted CONH2, etc.; R7 = H, alkyl]. The compds. are HMG-CoA reductase inhibitors, and are active in inhibiting cholesterol biosynthesis and modulating blood serum lipids, for example, lowering LDL cholesterol and/or increasing HDL cholesterol (no data). I are thus useful in treating hyperlipidemia and dyslipidemia, in hormone replacement therapy, and in treating hypercholesterolemia, hypertriglyceridemia and atherosclerosis, as well as Alzheimer's disease and osteoporosis. Prepns. of several compds. are described. For instance, a multistep synthesis of fused pyridine derivative II is reported. Compds. I may be used in a manner similar to atorvastatin, pravastatin, simvastatin, etc. Combinations of **compds.** I with various other **drugs** are claimed, the latter being specified as certain pharmacol. classes, as inhibitors of specific enzymes, as (ant)agonists of specific receptors, and as numerous named drugs.

IT **52-01-7, Spironolactone 54-31-9, Furosemide 58-93-5, Hydrochlorothiazide 56211-40-6, Torasemide 97322-87-7, Troglitazone 111025-46-8, Pioglitazone 122320-73-4, Rosiglitazone 161600-01-7 170861-63-9, JTT-501 196808-45-4 199914-96-0, YM-440 213252-19-8, KRP297**
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(therapeutic compns. also containing; preparation of fused pyridine derivs. as
HMG-CoA reductase inhibitors)

L17 ANSWER 15 OF 23 HCAPLUS COPYRIGHT 2004 ACS on STN
ED Entered STN: 03 May 2002
ACCESSION NUMBER: 2002:332011 HCAPLUS
DOCUMENT NUMBER: 136:355482
TITLE: Compositions comprising a polypeptide and an active agent
INVENTOR(S): Piccariello, Thomas; Olon, Lawrence P.; Kirk, Randall J.
PATENT ASSIGNEE(S): New River Pharmaceuticals, Inc., USA
SOURCE: PCT Int. Appl., 98 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 11
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| WO 2002034237 | A1 | 20020502 | WO 2001-US26142 | 20010822 |

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU,

SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

| | | | | | |
|---|---|---|---|---|---|
| US 6716452 | B1 | 20040406 | US 2000-642820 | | 20000822 |
| AU 2001086599 | A5 | 20020506 | AU 2001-86599 | | 20010822 |
| EP 1311242 | A1 | 20030521 | EP 2001-966056 | | 20010822 |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR | | | | | |
| JP 2004523480 | T2 | 20040805 | JP 2002-537291 | | 20010822 |
| US 2004127397 | A1 | 20040701 | US 2003-727565 | | 20031205 |
| PRIORITY APPLN. INFO.: | | | US 2000-642820 | A | 20000822 |
| | | | US 2000-247613P | P | 20001114 |
| | | | US 2000-247614P | P | 20001114 |
| | | | US 2000-247615P | P | 20001114 |
| | | | US 2000-247616P | P | 20001114 |
| | | | US 2000-247617P | P | 20001114 |
| | | | US 2000-247622P | P | 20001114 |
| | | | US 2000-247630P | P | 20001114 |
| | | | US 2000-247631P | P | 20001114 |
| | | | US 2000-247632P | P | 20001114 |
| | | | US 2000-247633P | P | 20001114 |
| | | | US 2000-247556P | P | 20001114 |
| | | | US 2000-247558P | P | 20001114 |
| | | | US 2000-247559P | P | 20001114 |
| | | | US 2000-247560P | P | 20001114 |
| | | | US 2000-247561P | P | 20001114 |
| | | | US 2000-247594P | P | 20001114 |
| | | | US 2000-247595P | P | 20001114 |
| | | | US 2000-247606P | P | 20001114 |
| | | | US 2000-247607P | P | 20001114 |
| | | | US 2000-247608P | P | 20001114 |
| | | | US 2000-247609P | P | 20001114 |
| | | | US 2000-247610P | P | 20001114 |
| | | | US 2000-247611P | P | 20001114 |
| | | | US 2000-247612P | P | 20001114 |
| | | | US 2000-247620P | P | 20001114 |
| | | | US 2000-247621P | P | 20001114 |
| | | | US 2000-247634P | P | 20001114 |
| | | | US 2000-247635P | P | 20001114 |
| | | | US 2000-247698P | P | 20001114 |
| | | | US 2000-247699P | P | 20001114 |
| | | | US 2000-247701P | P | 20001114 |
| | | | US 2000-247702P | P | 20001114 |
| | | | US 2000-247797P | P | 20001114 |
| | | | US 2000-247798P | P | 20001114 |
| | | | US 2000-247799P | P | 20001114 |
| | | | US 2000-247800P | P | 20001114 |
| | | | US 2000-247801P | P | 20001114 |
| | | | US 2000-247802P | P | 20001114 |
| | | | US 2000-247803P | P | 20001114 |
| | | | US 2000-247804P | P | 20001114 |
| | | | WO 2001-US26142 | W | 20010822 |

AB Claimed are compns. comprising a polypeptide and an active agent covalently attached to the polypeptide and a method for delivery of an

active agent to a patient by administering the composition to the patient. The peptide is a homopolymer of a naturally occurring amino acid or a heteropolymer of two or more naturally occurring amino acids. In an example, (Glu)n-cephalexin was prepared from Glu(OBut)NCA and cephalexin hydrochloride.

IT **52-01-7, Spironolactone 54-31-9, Furosemide 58-93-5, Hydrochlorothiazide 97322-87-7, Troglitazone**
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(compns. comprising a polypeptide and an active agent)

REFERENCE COUNT: 11 THERE ARE 11 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L17 ANSWER 16 OF 23 HCAPLUS COPYRIGHT 2004 ACS on STN
ED Entered STN: 25 Jan 2002
ACCESSION NUMBER: 2002:71854 HCAPLUS
DOCUMENT NUMBER: 136:123667
TITLE: Antidiabetic combinations containing enzymic nitric oxide donor
INVENTOR(S): Szilvassy, Zoltan; Tosaki, Arpad; Nemeth, Jozsef; Kovacs, Peter; Pankucsi, Csaba; Hernadi, Ferenc; Ferninandy, Peter
PATENT ASSIGNEE(S): Keri Pharma Kft., Hung.
SOURCE: PCT Int. Appl., 56 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| WO 2002005795 | A2 | 20020124 | WO 2001-HU79 | 20010713 |
| WO 2002005795 | A3 | 20021219 | | |
| WO 2002005795 | B1 | 20030130 | | |
| W: AL, AU, BA, BB, BG, BR, CA, CN, CO, CR, CU, CZ, DM, EC, EE, GD, GE, HR, HU, ID, IL, IN, IS, JP, KP, KR, LC, LK, LR, LT, LV, MD, MG, MK, MN, MX, NO, NZ, PL, RO, SG, SI, SK, TT, UA, US, UZ, VN, YU, ZA, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM | | | | |
| RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG | | | | |
| EP 1303304 | A2 | 20030423 | EP 2001-954229 | 20010713 |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR | | | | |
| JP 2004503585 | T2 | 20040205 | JP 2002-511728 | 20010713 |
| US 2004068005 | A1 | 20040408 | US 2003-332946 | 20031017 |
| PRIORITY APPLN. INFO.: | | | HU 2000-2628 A | 20000714 |
| | | | WO 2001-HU79 W | 20010713 |

AB Pharmaceutical combinations for treatment and/or prevention of all sorts, periods and complications of diabetes mellitus in mammals, thus including the pre-diabetic diseases and their complications, optionally including furthermore ischemic heart disease comprising an ED of at least one enzymic nitric oxide (NO) donor active ingredient and optionally comprising an ED of at least one antidiabetic active ingredient, and

further optionally comprising usual pharmaceutically acceptable carriers and/or other auxiliaries. The combination may consist of more than one **pharmaceutical compns.** The EDs related to the new insulin-sensitizing effect are considerably lower than the usual doses related to the known effect of most active substances dues to metabolic effects that influence insulin sensitivity in healthy and insulin resistant mammals. The usual dose of NO-donors is necessary when the patient has also ischemic heart disease. Preferred antidiabetics include insulin, a thiazolidinedion, a biguanide derivative, an α-glucosidase-inhibitor, an α2-adrenergic-antagonist and/or a sulfonamide, preferably a sulfonylurea. Preferred enzymic NO donors are nitroglycerin, racemic **isosorbide** mononitrate, and/or its stereoisomers, racemic **isosorbide** dinitrate and/or its stereoisomers, erythrityl tetranitrate, pentaerythritol-tetranitrate, methylpropyl-propanediol-dinitrate, propatyl nitrate, trolnitrate, tenitramine and/or nicorandil. The invention includes methods of treatment and processes to prepare the compns.

IT **97322-87-7, Troglitazone 111025-46-8, Pioglitazone 122320-73-4, Rosiglitazone**
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(antidiabetic combinations containing enzymic nitric oxide donor)

L17 ANSWER 17 OF 23 HCAPLUS COPYRIGHT 2004 ACS on STN
ED Entered STN: 31 Aug 2001
ACCESSION NUMBER: 2001:635880 HCAPLUS
DOCUMENT NUMBER: 135:200473
TITLE: Methods and compositions based on insulin-sensitivity increasing substances for the treatment of alopecia and other disorders of the pilosebaceous apparatus
INVENTOR(S): Krajcik, Rozlyn A.; Orentreich, Norman
PATENT ASSIGNEE(S): Orentreich Foundation for the Advancement of Science, Inc., USA
SOURCE: PCT Int. Appl., 22 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| WO 2001062237 | A2 | 20010830 | WO 2001-US5653 | 20010223 |
| WO 2001062237 | A3 | 20020613 | | |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM | | | | |
| RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG | | | | |
| EP 1267850 | A2 | 20030102 | EP 2001-914437 | 20010223 |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR | | | | |
| US 2002143039 | A1 | 20021003 | US 2002-73607 | 20020211 |

PRIORITY APPLN. INFO.: US 2000-184398P P 20000223
WO 2001-US5653 W 20010223

AB Insulin sensitivity increasing substances (ISIS), including but not limited to D-chiro-inositol, thiazolidinedione and derivs., and biguanides, are useful in the treatment of hair loss and other disorders of the pilosebaceous apparatus (hirsutism, acne, etc.) associated with conditions of excess insulin and/or insulin resistance. The treatment comprises administering to a mammal, such as a human, at least one ISIS either alone or in combination with at least one agent, such as an androgen receptor blocker (ARB) and/or a steroid enzyme inhibitor or inducer (STI). Addnl., an activity enhancing agent may be included for topical administration. For example, the onset of age-dependent hair loss in female ob/ob (obese) mice was delayed by oral metformin-HCl treatment using a dose of 240 mg/kg. Clear differences were seen between the incidence of hair loss in control vs. metformin HCl-treated animals in animals that were older than 300 days. The incidence of hair loss in metformin HCl-treated animals at 370 days of age was 30% compared to 60% incidence of hair loss in non-treated animals. In animals that were 300 days of age, about 20% of the metformin HCl-treated animals exhibited hair loss in contrast to the control animals, which showed about a 40% incidence of hair loss. Addnl., it was noted in the study that obese mice were prone to a spontaneous skin condition which may resemble human acanthosis nigricans or migratory ichthyosis. Although this condition was not fully characterized, the metformin HCl-treated animal group exhibited markedly less incidence of this skin condition relative to the control animals, the majority of which were affected by the skin condition. In addition, transient changes in hair loss patterns were occasionally noted in some of the animals during the course of the study. For example, an animal which presented with very moderate hair loss (i.e., only possible thinning of hair coat) for a period of 2-3 wk might later exhibit no hair loss and sustain that grade for an extended period of time.

IT **52-01-7, Spironolactone 97322-87-7, Troglitazone 111025-46-8, Pioglitazone 122320-73-4, Rosiglitazone**
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(compns. containing insulin-sensitivity increasing compds. for treatment of alopecia and other disorders of pilosebaceous apparatus)

L17 ANSWER 18 OF 23 HCAPLUS COPYRIGHT 2004 ACS on STN
ED Entered STN: 01 Jun 2001
ACCESSION NUMBER: 2001:396644 HCAPLUS
DOCUMENT NUMBER: 135:24671
TITLE: Solid carriers for improved delivery of active ingredients in **pharmaceutical compositions**
INVENTOR(S): Patel, Manesh V.; Chen, Feng-jing
PATENT ASSIGNEE(S): Lipocine, Inc., USA
SOURCE: PCT Int. Appl., 107 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 12
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| WO 2001037808 | A1 | 20010531 | WO 2000-US32255 | 20001122 |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM | | | | |
| RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG | | | | |
| US 6248363 | B1 | 20010619 | US 1999-447690 | 19991123 |
| EP 1233756 | A1 | 20020828 | EP 2000-980761 | 20001122 |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR | | | | |
| JP 2003517470 | T2 | 20030527 | JP 2001-539423 | 20001122 |
| PRIORITY APPLN. INFO.: | | | US 1999-447690 A | 19991123 |
| | | | WO 2000-US32255 W | 20001122 |

AB The present invention provides solid **pharmaceutical compns.** for improved delivery of a wide variety of pharmaceutical active ingredients contained therein or sep. administered. In one embodiment, the solid **pharmaceutical composition** includes a solid carrier, the solid carrier including a substrate and an encapsulation coat on the substrate. The encapsulation coat can include different combinations of pharmaceutical active ingredients, hydrophilic surfactant, lipophilic surfactants and triglycerides. In another embodiment, the solid **pharmaceutical composition** includes a solid carrier, the solid carrier being formed of different combinations of pharmaceutical active ingredients, hydrophilic surfactants, lipophilic surfactants and triglycerides. The compns. of the present invention can be used for improved delivery of hydrophilic or hydrophobic pharmaceutical active ingredients, such as drugs, nutritionals, cosmeceuticals and diagnostic agents. A composition contained glyburide 1, PEG 40 stearate 33, glycerol monolaurate 17, and nonpareil seed 80 g.

IT **52-01-7, Spironolactone 97322-87-7, Troglitazone 111025-46-8, Pioglitazone 122320-73-4, Rosiglitazone**
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(solid carriers for improved delivery of active ingredients in **pharmaceutical compns.**)

REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L17 ANSWER 19 OF 23 HCAPLUS COPYRIGHT 2004 ACS on STN
ED Entered STN: 27 Apr 2001
ACCESSION NUMBER: 2001:300514 HCAPLUS
DOCUMENT NUMBER: 134:331617
TITLE: Oil-in-water emulsion compositions for polyfunctional active ingredients
INVENTOR(S): Chen, Feng-jing; Patel, Mahesh V.
PATENT ASSIGNEE(S): Lipocine, Inc., USA
SOURCE: PCT Int. Appl., 82 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| WO 2001028555 | A1 | 20010426 | WO 2000-US28835 | 20001018 |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM | | | | |
| RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG | | | | |
| US 2002107265 | A1 | 20020808 | US 1999-420159 | 19991018 |
| US 6720001 | B2 | 20040413 | | |

PRIORITY APPLN. INFO.: US 1999-420159 A 19991018

AB Pharmaceutical oil-in-water emulsions for delivery of polyfunctional active ingredients with improved loading capacity, enhanced stability, and reduced irritation and local toxicity are described. Emulsions include an aqueous phase, an oil phase comprising a structured triglyceride, and an emulsifier. The structured triglyceride of the oil phase is substantially free of triglycerides having three medium chain (C6-C12) fatty acid moieties, or a combination of a long chain triglyceride and a polarity-enhancing polarity modifier. The present invention also provides methods of treating an animal with a polyfunctional active ingredient, using dosage forms of the pharmaceutical emulsions. For example, an emulsion was prepared, with cyclosporin A as the polyfunctional active ingredient dissolved in an oil phase including a structured triglyceride (Captex 810D) and a long chain triglyceride (safflower oil). The composition contained (by weight) cyclosporin A 1.0, Captex 810D 5.0, safflower oil 5.0, BHT 0.02, egg phospholipid 2.4, dimyristoylphosphatidyl glycerol 0.2, glycerol 2.25, EDTA 0.01, and water up to 100%, resp.

IT **52-01-7, Spironolactone 97322-87-7, Troglitazone 111025-46-8, Pioglitazone 122320-73-4, Rosiglitazone**
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(oil-in-water emulsion compns. for polyfunctional active ingredients)

REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L17 ANSWER 20 OF 23 HCAPLUS COPYRIGHT 2004 ACS on STN
ED Entered STN: 08 Dec 2000
ACCESSION NUMBER: 2000:861473 HCAPLUS
DOCUMENT NUMBER: 134:32972
TITLE: Porous drug matrixes containing polymers and sugars and methods of their manufacture
INVENTOR(S): Straub, Julie; Bernstein, Howard; Chickering, Donald E., III; Khatak, Sarwat; Randall, Greg
PATENT ASSIGNEE(S): Acusphere, Inc., USA
SOURCE: PCT Int. Appl., 45 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English

FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | | DATE |
|---|---|---|---|---|---|
| WO 2000072827 | A2 | 20001207 | WO 2000-US14578 | | 20000525 |
| WO 2000072827 | A3 | 20010125 | | | |
| W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM | | | | | |
| RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG | | | | | |
| US 6395300 | B1 | 20020528 | US 1999-433486 | | 19991104 |
| EP 1180020 | A2 | 20020220 | EP 2000-939365 | | 20000525 |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO | | | | | |
| BR 2000010984 | A | 20020430 | BR 2000-10984 | | 20000525 |
| JP 2003500438 | T2 | 20030107 | JP 2000-620939 | | 20000525 |
| NZ 516083 | A | 20030829 | NZ 2000-516083 | | 20000525 |
| AU 768022 | B2 | 20031127 | AU 2000-54459 | | 20000525 |
| US 2002041896 | A1 | 20020411 | US 2001-798824 | | 20010302 |
| US 6610317 | B2 | 20030826 | | | |
| NO 2001005753 | A | 20020128 | NO 2001-5753 | | 20011126 |
| ZA 2001010347 | A | 20030730 | ZA 2001-10347 | | 20011218 |
| PRIORITY APPLN. INFO.: | | | US 1999-136323P | P | 19990527 |
| | | | US 1999-158659P | P | 19991008 |
| | | | US 1999-433486 | A | 19991104 |
| | | | US 2000-186310P | P | 20000302 |
| | | | WO 2000-US14578 | W | 20000525 |

AB Drugs, especially low aqueous solubility drugs, are provided in a porous matrix form, preferably microparticles, which enhances dissoln. of the drug in aqueous media. The drug matrixes preferably are made using a process that includes (i) dissolving a drug, preferably a drug having low aqueous solubility, in a volatile solvent to form a drug solution, (ii) combining at least one pore forming agent with the drug solution to form an emulsion, suspension, or second solns., and (iii) removing the volatile solvent and pore forming agent from the emulsion, suspension, or second solution to yield the porous matrix of drug. The pore forming agent can be either a volatile liquid that is immiscible with the **drug** solvent or a volatile solid **compound**, preferably a volatile salt. In a preferred embodiment, spray drying is used to remove the solvents and the pore forming agent. The resulting porous matrix has a faster rate of dissoln. following administration to a patient, as compared to non-porous matrix forms of the drug. In a preferred embodiment, microparticles of the porous drug matrix are reconstituted with an aqueous medium and administered parenterally, or processed using standard techniques into tablets or capsules for oral administration. Paclitaxel or docetaxel can be provided in a porous matrix form, which allows the drug to be formulated without solubilizing agents and administered as a bolus. For example, a nifedipine-loaded organic

solution was prepared by dissolving 9.09 g of PEG 3350, 2.27 g of nifedipine, and 0.009 g of lecithin in 182 mL of methylene chloride. An aqueous solution was prepared by dissolving 3.27 g of NH4HCO3 and 0.91 g of PEG 3350 in 1.82 mL of water. The aqueous and organic solns. were homogenized and resulting emulsion was spray dried. A suspension of the porous nifedipine drug matrix was prepared in 5% dextrose solution at a concentration of 2.5 mg/mL. A bolus injection of the suspension was tolerated when administrated to dogs.

IT **77-36-1**, Chlorthalidone **97322-87-7**, **Troglitazone**
RL: PEP (Physical, engineering or chemical process); THU (Therapeutic use); BIOL (Biological study); PROC (Process); USES (Uses)
(preparation of porous matrixes containing hydrophilic polymers and sugars for enhancement of drug dissoln.)

L17 ANSWER 21 OF 23 HCAPLUS COPYRIGHT 2004 ACS on STN
ED Entered STN: 13 Oct 2000
ACCESSION NUMBER: 2000:725436 HCAPLUS
DOCUMENT NUMBER: 133:301171
TITLE: Compositions and methods for improved delivery of ionizable hydrophobic therapeutic agents
INVENTOR(S): Chen, Feng-jing; Patel, Manesh V.
PATENT ASSIGNEE(S): Lipocine, Inc., USA
SOURCE: PCT Int. Appl., 99 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| WO 2000059475 | A1 | 20001012 | WO 2000-US7342 | 20000316 |
| W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM | | | | |
| RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG | | | | |
| US 6383471 | B1 | 20020507 | US 1999-287043 | 19990406 |
| EP 1165048 | A1 | 20020102 | EP 2000-916547 | 20000316 |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO | | | | |

PRIORITY APPLN. INFO.:
US 1999-287043 A 19990406
WO 2000-US7342 W 20000316

AB The present invention is directed to a **pharmaceutical composition** including a hydrophobic therapeutic agent having at least one ionizable functional group, and a carrier. The carrier includes an ionizing agent capable of ionizing the functional group, a surfactant, and optionally solubilizers, triglycerides, and neutralizing agents. The

invention further relates to a method of preparing such compns. by providing a composition of an ionizable hydrophobic therapeutic agent, an ionizing agent, and a surfactant, and neutralizing a portion of the ionizing agent with a neutralizing agent. The compns. of the invention are particularly suitable for use in oral dosage forms. A carrier containing concentrated phosphoric acid 0.025, Tween-20 0.3, Arlacel 186 0.2, sodium taurocholate 0.15, propylene glycol 0.3 g was formulated. Itraconazole was included in the carrier at 30 mg/mL for testing the stability of the itraconazole solution upon dilution in simulated gastric fluid.

IT **54-31-9 58-54-8, Ethacrynic** acid
**59-66-5, Acetazolamide 77-36-1,** Chlorthalidone
**396-01-0, Triamterene 2609-46-3,**
**Amiloride 97322-87-7, Troglitazone**
**111025-46-8, Pioglitazone 122320-73-4,**
**Rosiglitazone**
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(**pharmaceutical compns.** containing hydrophobic therapeutic agents and carriers containing ionizing agents and surfactants and triglycerides)

REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L17 ANSWER 22 OF 23 HCAPLUS COPYRIGHT 2004 ACS on STN
ED Entered STN: 01 Sep 2000
ACCESSION NUMBER: 2000:608551 HCAPLUS
DOCUMENT NUMBER: 133:213151
TITLE: **Pharmaceutical compositions** and methods for improved delivery of hydrophobic therapeutic agents
INVENTOR(S): Patel, Manesh V.; Chen, Feng-Jing
PATENT ASSIGNEE(S): Lipocine, Inc., USA
SOURCE: PCT Int. Appl., 98 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 12
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| WO 2000050007 | A1 | 20000831 | WO 2000-US165 | 20000105 |
| W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM | | | | |
| RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG | | | | |
| US 6294192 | B1 | 20010925 | US 1999-258654 | 19990226 |
| AU 2000022242 | A5 | 20000914 | AU 2000-22242 | 20000105 |
| AU 771659 | B2 | 20040401 | | |

EP 1158959 A1 20011205 EP 2000-901394 20000105
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO
JP 2002537317 T2 20021105 JP 2000-600619 20000105
NZ 513810 A 20040227 NZ 2000-513810 20000105
PRIORITY APPLN. INFO.: US 1999-258654 A 19990226
WO 2000-US165 W 20000105

AB The present invention relates to triglyceride-free **pharmaceutical compns.** for delivery of hydrophobic therapeutic agents. Compns. of the present invention include a hydrophobic therapeutic agent and a carrier, where the carrier is formed from a combination of a hydrophilic surfactant and a hydrophobic surfactant. Upon dilution with an aqueous solvent, the composition forms a clear, aqueous dispersion of the surfactants containing the therapeutic agent. The invention also provides methods of treatment with hydrophobic therapeutic agents using these compns. A **pharmaceutical composition** contained cyclosporin 0.14, Cremophor RH-40 0.41, Arlacel186 0.29, sodium taurocholate 0.26, and propylene glycol 0.46 mg.

IT **52-01-7, Spironolactone 97322-87-7, Troglitazone 111025-46-8, Pioglitazone 122320-73-4, Rosiglitazone**
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(**pharmaceutical compns.** and methods for improved delivery of hydrophobic therapeutic agents)

REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L17 ANSWER 23 OF 23 HCAPLUS COPYRIGHT 2004 ACS on STN
ED Entered STN: 11 Aug 2000
ACCESSION NUMBER: 2000:553395 HCAPLUS
DOCUMENT NUMBER: 133:155456
TITLE: Topical sprays containing film-forming polymers
INVENTOR(S): Lulla, Amar; Malhotra, Geena; Raut, Preeti
PATENT ASSIGNEE(S): Cipla Limited, India
SOURCE: PCT Int. Appl., 25 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| WO 2000045795 | A2 | 20000810 | WO 2000-GB366 | 20000207 |
| WO 2000045795 | A3 | 20010809 | | |

W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

| | | | | |
|---|---|---|---|---|
| IN 186668 | A | 20011020 | IN 1999-BO93 | 19990205 |
| CA 2359640 | AA | 20000810 | CA 2000-2359640 | 20000207 |
| AU 2000024472 | A5 | 20000825 | AU 2000-24472 | 20000207 |
| AU 759515 | B2 | 20030417 | | |
| BR 2000007997 | A | 20011030 | BR 2000-7997 | 20000207 |
| EP 1150661 | A2 | 20011107 | EP 2000-902727 | 20000207 |
| EP 1150661 | B1 | 20031022 | | |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO | | | | |
| JP 2002536319 | T2 | 20021029 | JP 2000-596915 | 20000207 |
| NZ 513208 | A | 20030530 | NZ 2000-513208 | 20000207 |
| AT 252380 | E | 20031115 | AT 2000-902727 | 20000207 |
| PT 1150661 | T | 20040227 | PT 2000-902727 | 20000207 |
| ES 2209812 | T3 | 20040701 | ES 2000-902727 | 20000207 |
| ZA 2000005727 | A | 20001221 | ZA 2000-5727 | 20001017 |
| NO 2001003815 | A | 20011002 | NO 2001-3815 | 20010803 |
| HK 1042043 | A1 | 20040408 | HK 2002-103295 | 20020502 |

| | | |
|---|---|---|
| PRIORITY APPLN. INFO.: | IN 1999-BO92 | A 19990205 |
| | IN 1999-BO93 | A 19990205 |
| | IN 1999-BO382 | A 19990520 |
| | IN 1999-BO582 | A 19990817 |
| | WO 1999-GB2998 | W 19990909 |
| | IN 2000-BO43 | A 20000113 |
| | IN 2000-BO44 | A 20000113 |
| | WO 2000-GB366 | W 20000207 |

AB A topical, **medicinal** spray **composition** comprises one or more **medicaments** in a volatile vehicle, and one or more film-forming polymers. When sprayed on a topical site, the composition forms a stable, breathable film from which the medicaments are transdermally available. Preferably, the composition comprises 0.1-30 % of one or more medicaments, 0.1-15 % film-forming polymers, 0.1-10 % solubilizers, 0.1-8 % permeation enhancers, 1.0-10 % plasticizers, and a vehicle q.s. 100 %. The invention includes a spray dispenser containing the topical composition An aerosol contained estradiol 2, PVP K-30 6, vinylacetate-vinylpyrrolidone copolymer 4, vitamin E 1, polyethylene glycol-6000 2, polyethylene glycol 3, dichlorodifluoromethane 24.9, and trichloromonofluoromethane 57.1 %.

IT **2609-46-3, Amiloride 122320-73-4, Rosiglitazone**
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(topical sprays containing film-forming polymers)

(FILE 'MEDLINE, BIOSIS, EMBASE, WPIDS, CONFSCI, SCISEARCH, JICST-EPLUS, JAPIO' ENTERED AT 11:07:13 ON 08 OCT 2004)

L18 11 S L17
L19 11 DUP REM L18 (0 DUPLICATES REMOVED)

L19 ANSWER 1 OF 11 WPIDS COPYRIGHT 2004 THE THOMSON CORP on STN

| | |
|---|---|
| ACCESSION NUMBER: | 2004-191100 [18] WPIDS |
| CROSS REFERENCE: | 2000-303363 [26]; 2001-281805 [29]; 2002-425895 [45]; 2003-183864 [18]; 2003-708770 [67]; 2003-767190 [72]; 2003-897031 [82]; 2004-190670 [18]; 2004-327673 [30]; 2004-478988 [45]; 2004-579872 [56] |
| DOC. NO. CPI: | C2004-075331 |
| TITLE: | Nanoparticulate liquid dosage **composition** |

useful as **medicament** in the treatment of e.g. cancer, inflammatory bowel disease comprises particles of active agent, surface stabilizer and osmotically active crystal growth inhibitor.

DERWENT CLASS: A96 B05 B07
INVENTOR(S): BOSCH, W H; HILBORN, M R; HOVEY, D C; KLINE, L J; LEE, R W; PRUITT, J D; RYDE, N P; RYDE, T A; XU, S
PATENT ASSIGNEE(S): (ELAN-N) ELAN PHARMA INT LTD
COUNTRY COUNT: 105
PATENT INFORMATION:

| PATENT NO | KIND | DATE | WEEK | LA | PG |
|---|---|---|---|---|---|
| WO 2004006959 | A1 | 20040122 | (200418)* | EN | 68 |
| RW: AT BE BG CH CY CZ DE DK EA EE ES FI FR GB GH GM GR HU IE IT KE LS LU MC MW MZ NL OA PT RO SD SE SI SK SL SZ TR TZ UG ZM ZW | | | | | |
| W: AE AG AL AM AT AU AZ BA BB BG BR BY BZ CA CH CN CO CR CU CZ DE DK DM DZ EC EE ES FI GB GD GE GH GM HR HU ID IL IN IS JP KE KG KP KR KZ LC LK LR LS LT LU LV MA MD MG MK MN MW MX MZ NI NO NZ OM PG PH PL PT RO RU SC SD SE SG SK SL SY TJ TM TN TR TT TZ UA UG US UZ VC VN YU ZA ZM ZW | | | | | |
| AU 2003261167 | A1 | 20040202 | (200450) | | |

APPLICATION DETAILS:

| PATENT NO | KIND | APPLICATION | DATE |
|---|---|---|---|
| WO 2004006959 | A1 | WO 2003-US22187 | 20030716 |
| AU 2003261167 | A1 | AU 2003-261167 | 20030716 |

FILING DETAILS:

| PATENT NO | KIND | PATENT NO |
|---|---|---|
| AU 2003261167 | A1 Based on | WO 2004006959 |

PRIORITY APPLN. INFO: US 2002-396530P 20020716
AN 2004-191100 [18] WPIDS
CR 2000-303363 [26]; 2001-281805 [29]; 2002-425895 [45]; 2003-183864 [18]; 2003-708770 [67]; 2003-767190 [72]; 2003-897031 [82]; 2004-190670 [18]; 2004-327673 [30]; 2004-478988 [45]; 2004-579872 [56]
AB WO2004006959 A UPAB: 20040901
NOVELTY - Nanoparticulate liquid dosage composition (C1) comprises:
(1) particles of at least one active agent (a) having an average particle size of less than 2000 nm;
(2) at least one (preferably at least two) surface stabilizer (b); and
(3) at least one osmotically active crystal growth inhibitor (c).
DETAILED DESCRIPTION - An INDEPENDENT CLAIM is included for preparation of (C1) involving:
(1) contacting the particles of at least one (a) having an average particle size of less than 2 microns with at least one (b) for a time and under conditions to provide a nanoparticulate active agent composition (C2) by grinding (preferably wet grinding) and homogenizing; and
(2) adding at least one (c) to (C2) before, during or after the active agent particle size reduction.

ACTIVITY - Cytostatic; Gynecological; Depilatory; Anti-HIV; Antimigraine; Analgesic; Anabolic; Eating-Disorders-Gen.; Immunomodulator; Antiemetic; Gastrointestinal-Gen.; Antiinflammatory; Antiulcer; Antirheumatic; Antiarthritic; Nephrotropic; Osteopathic; Nootropic; Neuroprotective; Antigout; Dermatological; Ophthalmological; Uropathic.

MECHANISM OF ACTION - None given.

USE - In the preparation of a medicament for treating neoplastic disease, cancer (e.g. breast, endometrial, uterine, cervical, prostate and renal cancer), hormone replacement therapy in post-menopausal women, endometriosis, hirsutism, dysmenorrhea, uterine bleeding, HIV wasting, cancer wasting, migraine headache, cachexia, anorexia, castration, oral contraception, motion sickness, emesis related to cytotoxic drugs, gastritis, ulcers, dyspepsia, gastroenteritis (e.g. colitis and food poisoning), inflammatory bowel disease, Crohn's disease, pain, inflammation, arthritis (e.g. osteoarthritis, rheumatoid arthritis, juvenile arthritis, infectious arthritis and psoriatic arthritis), kidney disease, osteoporosis, Alzheimer's disease, familial adenomatous polyposis, gout, ankylosing spondylitis, systemic lupus erythematosus, bursitis, tendinitis, myofascial pain, carpal tunnel syndrome, fibromyalgia syndrome, reiter's syndrome, scleroderma and other conditions accompanied by symptoms of nausea and vomiting) (all claimed).

ADVANTAGE - The active agent form crystals upon storage or heating in the absence of osmotically active crystal growth inhibitor. At least 70 (preferably at least 90, especially at least 95)% particles of the nanoparticulate active agent have a particle size less than the effective average particle size. In the composition, the amount of the active agent per ml is at least the amount of the active agent per ml of a standard conventional non-nanoparticulate liquid dosage composition of the same active agent. The viscosity of the composition is less than 1 divided by 10 (preferably less than 1 divided by 100, especially divided by 200) and less than 90 (preferably less than 55, especially less than 5)% of the viscosity of a standard conventional non-nanoparticulate liquid dosage composition of the same active agent at a same concentration per ml of the active agent. The active agent has a Tmax less than the Tmax of the active agent, when assayed in the plasma of a mammalian subject following the administration and ( not more than 90, preferably not more than 50, especially not more than 10)% of the Tmax exhibited by a non-particulate formulation of the same active agent, administered at the same dosage. The active agent has a Cmax of greater than the Cmax for a conventional, non-nanoparticulate form of the same active agent by (at least 10, preferably at least 50, especially at least 100)% at the same dosage, when assayed in the plasma of a mammalian subject following administration. The active agent has an AUC greater than the AUC of the active agent for a conventional non-nanoparticulate form by at least 10 (preferably at least 50, especially at least 100)% of the same active agent administered at the same dosage, when assayed in the plasma of a mammalian subject following administration. The composition does not produce significantly different absorption levels when administered under fed as compared to fasting conditions, and the difference in absorption when administered in the fed versus the fasted state is less than 100 (preferably less than 50, especially less than 3)%. The administration of the composition in a fasted state is bioequivalent (where the bioequivalency is established by a 90% confidence interval of 0.8 - 1.25 for both Cmax and AUC, when administered to a human) to the administration of the composition to the subject in a fed state, when administered to a human. The composition is bioadhesive.

Searcher : Shears 571-272-2528

Dwg.0/0

L19 ANSWER 2 OF 11 WPIDS COPYRIGHT 2004 THE THOMSON CORP on STN
ACCESSION NUMBER: 2004-579872 [56] WPIDS
CROSS REFERENCE: 2000-303363 [26]; 2000-376273 [32]; 2001-281805 [29]; 2002-425895 [45]; 2003-183864 [18]; 2003-708770 [67]; 2003-767190 [72]; 2003-897031 [82]; 2004-190670 [18]; 2004-191100 [18]; 2004-478988 [45]
DOC. NO. NON-CPI: N2004-458422
DOC. NO. CPI: C2004-211287
TITLE: Composition, useful to treat e.g. asthma, seasonal allergic rhinitis, contact dermatitis, psoriasis and ulcerative colitis, comprises particles of at least one triamcinolone and at least one surface stabilizer.
DERWENT CLASS: A96 B01 P34
INVENTOR(S): BOSCH, H W; COOPER, E R; OSTRANDER, K D
PATENT ASSIGNEE(S): (ELAN-N) ELAN PHARMA INT LTD
COUNTRY COUNT: 1
PATENT INFORMATION:

| PATENT NO | KIND | DATE | WEEK | LA | PG |
|---|---|---|---|---|---|
| US 2004141925 | A1 | 20040722 | (200456)* | | 28 |

APPLICATION DETAILS:

| PATENT NO | KIND | | APPLICATION | DATE |
|---|---|---|---|---|
| US 2004141925 | A1 | CIP of | US 1998-190138 | 19981112 |
| | | CIP of | US 1999-337675 | 19990622 |
| | | Div ex | US 1999-414159 | 19991008 |
| | | Cont of | US 2000-666539 | 20000921 |
| | | Cont of | US 2000-715117 | 20001120 |
| | | CIP of | US 2001-4808 | 20011207 |
| | | Provisional | US 2002-353230P | 20020204 |
| | | CIP of | US 2002-75443 | 20020215 |
| | | Provisional | US 2002-396530P | 20020716 |
| | | CIP of | US 2003-345312 | 20030116 |
| | | CIP of | US 2003-357514 | 20030204 |
| | | CIP of | US 2003-619539 | 20030204 |
| | | | US 2003-697716 | 20031031 |

FILING DETAILS:

| PATENT NO | KIND | | PATENT NO |
|---|---|---|---|
| US 2004141925 | A1 | Cont of | US 6375986 |
| | | Div ex | US 6428814 |
| | | CIP of | US 6592903 |

PRIORITY APPLN. INFO: US 2003-697716 20031031; US 1998-190138 19981112; US 1999-337675 19990622; US 1999-414159 19991008; US 2000-666539 20000921; US 2000-715117 20001120; US

Searcher : Shears 571-272-2528

2001-4808 20011207; US
2002-353230P 20020204; US
2002-75443 20020215; US
2002-396530P 20020716; US
2003-345312 20030116; US
2003-357514 20030204; US
2003-619539 20030204

AN 2004-579872 [56] WPIDS
CR 2000-303363 [26]; 2000-376273 [32]; 2001-281805 [29]; 2002-425895 [45]; 2003-183864 [18]; 2003-708770 [67]; 2003-767190 [72]; 2003-897031 [82]; 2004-190670 [18]; 2004-191100 [18]; 2004-478988 [45]
AB US2004141925 A UPAB: 20040901
NOVELTY - Composition (A) comprises particles of at least one triamcinolone (I) (having an effective average particle size of less than about 2000 nm) or its salts and at least one surface stabilizer (II).

DETAILED DESCRIPTION - An INDEPENDENT CLAIM is also included for preparation of (A).

ACTIVITY - Antiinflammatory; Antiarthritic; Dermatological; Antipsoriatic; Hemostatic; Nephrotropic; Ophthalmological; Antithyroid; Gastrointestinal-Gen.; Antiulcer; Antiallergic; Antiasthmatic; Cytostatic; Vulnerary; Endocrine-Gen.; Auditory; Virucide; Antianemic.

No biological data given.

MECHANISM OF ACTION - None given.

USE - (A) is useful to treat indications (where glucocoticoids and steroidal anti-inflammatory agents are typically used) such as arthritis, skin disorders (preferably contact dermatitis, atopic dermatitis, psoriasis, eczema or general dermatitis), blood disorders, kidney disorders, eye disorders, thyroid disorders, intestinal disorders (preferably ulcerative colitis, colitis, gastroenteritis, irritable bowel disorder or Crohn's disease), allergies, bronchial asthma, cancer, neoplastic diseases, tendinitis, allergic reactions, oral inflammation, oral lesions, oral ulcers, bursitis, epicondylitis, keloids, endocrine disorders, herpes zoster ophthalmicus, hemolytic anemia or acute rheumatic carditis (preferably asthma, seasonal allergic rhinitis and perennial allergic rhinitis) in a subject (preferably a human) (all claimed).

ADVANTAGE - (A) is bioadhesive (claimed). The nano particulate of (I) exhibits faster therapeutic effects. (A) exhibits faster onset of action, a potential decrease in the frequency of dosing, smaller doses of (I) and its derivatives required to obtain the same pharmacological effect, increased bioavailabilty, an increased rate of dissolution, improved performance characteristics for oral, intravenous, subcutaneous or intramuscular injection, such as higher dose loading and smaller tablet or liquid dose volumes and improved Tmax, Cmax and AUC profile.
Dwg.0/0

L19 ANSWER 3 OF 11 WPIDS COPYRIGHT 2004 THE THOMSON CORP on STN
ACCESSION NUMBER: 2004-399399 [37] WPIDS
CROSS REFERENCE: 2003-067940 [06]; 2003-067941 [06]; 2003-067942 [06]; 2003-067943 [06]; 2003-067944 [06]; 2003-067945 [06]; 2003-067946 [06]; 2003-067947 [06]; 2003-067948 [06]; 2003-067949 [06]; 2003-067950 [06]; 2003-067951 [06]; 2003-067952 [06]; 2003-067953 [06]; 2003-067954 [06]; 2003-067955 [06]; 2003-067956 [06]; 2003-067957 [06]; 2003-112259 [10]; 2003-120749 [11]; 2003-120750 [11]; 2003-129366 [12]; 2003-140547 [13]; 2003-156819 [15]; 2003-371875 [35]; 2003-402067 [38]; 2003-457351 [43];

2003-505170 [47]; 2003-569111 [53]; 2003-597221 [56]; 2003-598318 [56]; 2004-089096 [09]; 2004-389125 [36]; 2004-641994 [62]; 2004-642029 [62]

DOC. NO. NON-CPI: N2004-318404

TITLE: **Composition** useful for delivery of **drug** comprises condensation aerosol formed by volatilization of heat stable **drug composition** to produce a heated vapor and condensing the heated vapor to form condensation aerosol particles.

DERWENT CLASS: B07 P34

INVENTOR(S): HALE, R L; HODGES, C C; LLOYD, P M; LU, A T; MYERS, D J; RABINOWITZ, J D; WENSLEY, M J

PATENT ASSIGNEE(S): (ALEX-N) ALEXZA MOLECULAR DELIVERY CORP

COUNTRY COUNT: 1

PATENT INFORMATION:

| PATENT NO | KIND | DATE | WEEK | LA | PG |
|---|---|---|---|---|---|
| US 2004099269 | A1 | 20040527 | (200437)* | | 84 |

APPLICATION DETAILS:

| PATENT NO | KIND | | APPLICATION | DATE |
|---|---|---|---|---|
| US 2004099269 | A1 | Provisional | US 2001-294203P | 20010524 |
| | | Provisional | US 2001-296225P | 20010605 |
| | | Provisional | US 2001-317479P | 20010905 |
| | | CIP of | US 2001-57197 | 20011026 |
| | | CIP of | US 2001-57198 | 20011026 |
| | | Provisional | US 2001-335049P | 20011030 |
| | | Provisional | US 2001-336218P | 20011030 |
| | | Provisional | US 2001-345145P | 20011109 |
| | | Provisional | US 2001-345876P | 20011109 |
| | | Provisional | US 2001-345882P | 20011109 |
| | | Provisional | US 2001-332165P | 20011121 |
| | | Provisional | US 2001-332279P | 20011121 |
| | | Provisional | US 2001-332280P | 20011121 |
| | | Provisional | US 2001-342066P | 20011218 |
| | | CIP of | US 2002-50056 | 20020114 |
| | | Provisional | US 2002-371457P | 20020409 |
| | | CIP of | US 2002-146080 | 20020513 |
| | | CIP of | US 2002-146086 | 20020513 |
| | | CIP of | US 2002-146088 | 20020513 |
| | | CIP of | US 2002-146515 | 20020513 |
| | | CIP of | US 2002-146516 | 20020513 |
| | | CIP of | US 2002-150267 | 20020515 |
| | | CIP of | US 2002-150268 | 20020515 |
| | | CIP of | US 2002-151596 | 20020516 |
| | | CIP of | US 2002-151626 | 20020516 |
| | | CIP of | US 2002-150591 | 20020517 |
| | | CIP of | US 2002-150857 | 20020517 |
| | | CIP of | US 2002-152639 | 20020520 |
| | | CIP of | US 2002-152640 | 20020520 |
| | | CIP of | US 2002-152652 | 20020520 |
| | | CIP of | US 2002-153139 | 20020520 |
| | | CIP of | US 2002-153311 | 20020521 |

Searcher : Shears 571-272-2528

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| CIP of | US 2002-153313 | 20020521 |
| CIP of | US 2002-153831 | 20020521 |
| CIP of | US 2002-153839 | 20020521 |
| CIP of | US 2002-155373 | 20020522 |
| CIP of | US 2002-155621 | 20020522 |
| CIP of | US 2002-155703 | 20020522 |
| CIP of | US 2002-155705 | 20020522 |
| CIP of | US 2002-154594 | 20020523 |
| CIP of | US 2002-154765 | 20020523 |
| CIP of | US 2002-155097 | 20020523 |
| Provisional | US 2002-412068P | 20020918 |
| CIP of | US 2002-280315 | 20021025 |
| CIP of | US 2002-302010 | 20021121 |
| CIP of | US 2002-302614 | 20021121 |
| CIP of | US 2002-322227 | 20021217 |
| CIP of | US 2003-633876 | 20030804 |
| CIP of | US 2003-633877 | 20030804 |
| | US 2003-718982 | 20031120 |

FILING DETAILS:

| PATENT NO | KIND | | PATENT NO |
|---|---|---|---|
| US 2004099269 | A1 | CIP of | US 6682716 |
| | | CIP of | US 6716415 |
| | | CIP of | US 6716416 |
| | | CIP of | US 6716417 |

PRIORITY APPLN. INFO:

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| US 2003-718982 | 20031120; US |
| 2001-294203P | 20010524; US |
| 2001-296225P | 20010605; US |
| 2001-317479P | 20010905; US |
| 2001-57197 | 20011026; US |
| 2001-57198 | 20011026; US |
| 2001-335049P | 20011030; US |
| 2001-336218P | 20011030; US |
| 2001-345145P | 20011109; US |
| 2001-345876P | 20011109; US |
| 2001-345882P | 20011109; US |
| 2001-332165P | 20011121; US |
| 2001-332279P | 20011121; US |
| 2001-332280P | 20011121; US |
| 2001-342066P | 20011218; US |
| 2002-50056 | 20020114; US |
| 2002-371457P | 20020409; US |
| 2002-146080 | 20020513; US |
| 2002-146086 | 20020513; US |
| 2002-146088 | 20020513; US |
| 2002-146515 | 20020513; US |
| 2002-146516 | 20020513; US |
| 2002-150267 | 20020515; US |
| 2002-150268 | 20020515; US |
| 2002-151596 | 20020516; US |
| 2002-151626 | 20020516; US |
| 2002-150591 | 20020517; US |
| 2002-150857 | 20020517; US |

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| 2002-152639 | 20020520; US |
| 2002-152640 | 20020520; US |
| 2002-152652 | 20020520; US |
| 2002-153139 | 20020520; US |
| 2002-153311 | 20020521; US |
| 2002-153313 | 20020521; US |
| 2002-153831 | 20020521; US |
| 2002-153839 | 20020521; US |
| 2002-155373 | 20020522; US |
| 2002-155621 | 20020522; US |
| 2002-155703 | 20020522; US |
| 2002-155705 | 20020522; US |
| 2002-154594 | 20020523; US |
| 2002-154765 | 20020523; US |
| 2002-155097 | 20020523; US |
| 2002-412068P | 20020918; US |
| 2002-280315 | 20021025; US |
| 2002-302010 | 20021121; US |
| 2002-302614 | 20021121; US |
| 2002-322227 | 20021217; US |
| 2003-633876 | 20030804; US |
| 2003-633877 | 20030804 |

AN 2004-399399 [37] WPIDS

CR 2003-067940 [06]; 2003-067941 [06]; 2003-067942 [06]; 2003-067943 [06]; 2003-067944 [06]; 2003-067945 [06]; 2003-067946 [06]; 2003-067947 [06]; 2003-067948 [06]; 2003-067949 [06]; 2003-067950 [06]; 2003-067951 [06]; 2003-067952 [06]; 2003-067953 [06]; 2003-067954 [06]; 2003-067955 [06]; 2003-067956 [06]; 2003-067957 [06]; 2003-112259 [10]; 2003-120749 [11]; 2003-120750 [11]; 2003-129366 [12]; 2003-140547 [13]; 2003-156819 [15]; 2003-371875 [35]; 2003-402067 [38]; 2003-457351 [43]; 2003-505170 [47]; 2003-569111 [53]; 2003-597221 [56]; 2003-598318 [56]; 2004-089096 [09]; 2004-389125 [36]; 2004-641994 [62]; 2004-642029 [62]

AB US2004099269 A UPAB: 20041001

NOVELTY - A composition comprises a condensation aerosol formed by volatilization of a heat stable **drug composition** to produce a heated vapor of the **drug composition** and condensing the heated vapor of the **drug composition** to form condensation aerosol particles which contain less than 10% drug degradation products and has MMAD less than 3 micro m.

DETAILED DESCRIPTION - An INDEPENDENT CLAIM is included for a kit for delivering a **drug** condensation aerosol comprising a **composition** devoid of solvents and excipients comprising a heat stable drug compound in a unit dose form and a device for forming or dispensing a drug aerosol comprising an element configured to heat the composition to form a vapor, an element allowing the vapor to condense to form a condensation aerosol, and an element permitting a user to inhale the condensation aerosol.

ACTIVITY - None given.

MECHANISM OF ACTION - None given.

USE - For delivery of a drug; inhalation therapy (claimed); for the treatment of disease and intermittent or acute conditions.

ADVANTAGE - The aerosol is devoid of excipient or devoid of propellants and organic solvents. The drug exhibits an increasing level of drug degradation products with increasing film thickness. The condensation aerosol particles has less than 10 (preferably less than 5, especially less than 2.5)% drug degradation products and has aerosol mass median

aerodynamic diameter (MMAD) of less than 3 (preferably 1 - 3, especially 0.01 - 3, particularly less than 1) mu . The drug aerosol has a purity of 90 - 99.8 (preferably 93 - 99.7, especially 95 - 99.5, particularly 96.5 - 99.2).
Dwg.0/27

L19 ANSWER 4 OF 11 WPIDS COPYRIGHT 2004 THE THOMSON CORP on STN
ACCESSION NUMBER: 2003-853476 [79] WPIDS
DOC. NO. CPI: C2003-240431
TITLE: Immediate release granule composition for treating bacterial infection e.g. bovine respiratory disease comprises a drug, a first excipient and a wetting amount of a second excipient.
DERWENT CLASS: A96 B05 B07
INVENTOR(S): REMON, J; VERVAET, K
PATENT ASSIGNEE(S): (UYGE-N) UNIV GENT
COUNTRY COUNT: 102
PATENT INFORMATION:

| PATENT NO | KIND | DATE | WEEK | LA | PG |
|---|---|---|---|---|---|
| WO 2003074031 | A1 | 20030912 | (200379)* | EN | 15 |
| RW: AT BE BG CH CY CZ DE DK EA EE ES FI FR GB GH GM GR HU IE IT KE LS LU MC MW MZ NL OA PT RO SD SE SI SK SL SZ TR TZ UG ZM ZW | | | | | |
| W: AE AG AL AM AT AU AZ BA BB BG BR BY BZ CA CH CN CO CR CU CZ DE DK DM DZ EC EE ES FI GB GD GE GH GM HR HU ID IL IN IS JP KE KG KP KR KZ LC LK LR LS LT LU LV MA MD MG MK MN MW MX MZ NO NZ OM PH PL PT RO RU SC SD SE SG SK SL TJ TM TN TR TT TZ UA UG US UZ VC VN YU ZA ZM ZW | | | | | |
| AU 2003215449 | A1 | 20030916 | (200430) | | |

APPLICATION DETAILS:

| PATENT NO | KIND | APPLICATION | DATE |
|---|---|---|---|
| WO 2003074031 | A1 | WO 2003-BE40 | 20030305 |
| AU 2003215449 | A1 | AU 2003-215449 | 20030305 |

FILING DETAILS:

| PATENT NO | KIND | PATENT NO |
|---|---|---|
| AU 2003215449 | A1 Based on | WO 2003074031 |

PRIORITY APPLN. INFO: GB 2002-5253 20020306
AN 2003-853476 [79] WPIDS
AB WO2003074031 A UPAB: 20031208
NOVELTY - An immediate release granule **composition** comprises at least one **drug** classifiable as Class II or Class IV of the Biopharmaceutical Classification System, a first excipient and a wetting amount of a second excipient.
DETAILED DESCRIPTION - An immediate release granule **composition** (C1) comprises: at least one **drug** (a) classifiable as Class II or Class IV of the Biopharmaceutical Classification System (at least 0.5-20) weight%; a first excipient (b) selected from a blend of a microcrystalline cellulose and a swellable

polymer in a weight ratio of the polymer to the microcrystalline cellulose in the blend is above 2:100-30:100 and/or at least one dextrin-containing compound selected from maltodextrin, cyclodextrin or their derivative; and mixtures of both; and a wetting amount of a second excipient (c) selected from a non-aqueous wetting compound or a meltable compound, comprising a solid fraction, and optionally a liquid fraction.

INDEPENDENT CLAIMS are included for the following:

(a) preparation of (C1) involving:

(1) homogenizing a mixture comprising (a), (b) and solid fraction of (c);

(2) feeding the mixture obtained above and optionally the liquid fraction of (c) into an extruding device having at least one mixing zone and at least one transport zone;

(3) extruding the materials obtained as above, while operating the extruding device at a temperature not above the melting temperature of the solid fraction of (c) until (C1) is obtained;

(b) a solid shaped article comprising a core containing (C1);

(c) a sachet comprising (C1); and

(d) (C1) or the solid shaped article comprising (C1) in combination with an animal feed for oral administration to an animal.

ACTIVITY - Respiratory-Gen.; Antibacterial.

No biological data given.

MECHANISM OF ACTION - None given.

USE - For the treatment of bacterial infections in humans and animals, such as in cattle (e.g. bovine respiratory disease), swine, sheep, goats, poultry and fish.

ADVANTAGE - The composition provides an oral immediate release of the class II (poorly soluble, highly permeable) and class IV (poorly soluble, poorly permeable) drugs, but having good oral bioavailability and no absorption problems, and available in the injectable forms in the prior art. The composition provides an immediate release of at least 50 (preferably at least 70)% of the drug within 30 (preferably 10) minutes in water.

Dwg.0/3

L19 ANSWER 5 OF 11 WPIDS COPYRIGHT 2004 THE THOMSON CORP on STN

ACCESSION NUMBER: 2003-523157 [49] WPIDS

DOC. NO. CPI: C2003-140754

TITLE: Preparation of solid dispersion comprises dissolving water insoluble drug and substituted cyclodextrin in organic solvent followed by drying.

DERWENT CLASS: B05 B07

INVENTOR(S): JANG, S Y; SONG, J S; CHANG, S; SONG, J

PATENT ASSIGNEE(S): (DDST-N) DDS TECH CO LTD

COUNTRY COUNT: 102

PATENT INFORMATION:

| PATENT NO | KIND | DATE | WEEK | LA | PG |
|---|---|---|---|---|---|
| WO 2003043602 | A1 | 20030530 | (200349)* | EN | 15 |

RW: AT BE BG CH CY CZ DE DK EA EE ES FI FR GB GH GM GR IE IT KE LS LU MC MW MZ NL OA PT SD SE SK SL SZ TR TZ UG ZM ZW

W: AE AG AL AM AT AU AZ BA BB BG BR BY BZ CA CH CN CO CR CU CZ DE DK DM DZ EC EE ES FI GB GD GE GH GM HR HU ID IL IN IS JP KE KG KP KZ LC LK LR LS LT LU LV MA MD MG MK MN MW MX MZ NO NZ OM PH PL PT RO RU SC SD SE SG SI SK SL TJ TM TN TR TT TZ UA UG US UZ VC VN YU ZA

ZM ZW
KR 2003041577 A 20030527 (200361)
AU 2002366042 A1 20030610 (200419)

APPLICATION DETAILS:

| PATENT NO | KIND | APPLICATION | DATE |
|---|---|---|---|
| WO 2003043602 | A1 | WO 2002-KR2151 | 20021118 |
| KR 2003041577 | A | KR 2001-72412 | 20011120 |
| AU 2002366042 | A1 | AU 2002-366042 | 20021118 |

FILING DETAILS:

| PATENT NO | KIND | PATENT NO |
|---|---|---|
| AU 2002366042 | A1 Based on | WO 2003043602 |

PRIORITY APPLN. INFO: KR 2001-72412 20011120
AN 2003-523157 [49] WPIDS
AB WO2003043602 A UPAB: 20030731
NOVELTY - Preparation of a solid dispersion comprising a water insoluble drug and a substituted cyclodextrin comprises dissolving the drug and the cyclodextrin in non-aqueous organic solvent followed by drying under reduced pressure or by spray drying.
DETAILED DESCRIPTION - An INDEPENDENT CLAIM is included for a **pharmaceutical composition** which comprises the solid dispersion and a carrier.
ACTIVITY - None given
MECHANISM OF ACTION - None given.
USE - Used for manufacture of a solid dispersion (claimed).
ADVANTAGE - The method improves the dissolution rate and speed of water insoluble drugs, maximizes the bioavailability of the drug by promoting internal absorption and minimizes gastrointestinal side effects. The solid dispersion improves an individual's adaptability to the drugs producing side effects on the gastrointestinal tract when solubilized.
Dwg.0/6

L19 ANSWER 6 OF 11 WPIDS COPYRIGHT 2004 THE THOMSON CORP on STN
ACCESSION NUMBER: 2003-393252 [37] WPIDS
CROSS REFERENCE: 2002-519166 [55]; 2003-747944 [70]; 2003-833251 [77]; 2004-011505 [01]; 2004-062118 [06]
DOC. NO. CPI: C2003-104367
TITLE: Composition comprising a polypeptide as a carrier and a drug, e.g. nelfinavir, attached covalently to the polypeptide, useful for delivery, protection and controlled release of drugs to patients.
DERWENT CLASS: A96 B04 B05 B07 D16
INVENTOR(S): PICARIELLO, T; BOERTH, N J; GOLDSTEIN, A S; MONCRIEF, J S; OLON, L P; PICCARIELLO, T
PATENT ASSIGNEE(S): (NEWR-N) NEW RIVER PHARM INC
COUNTRY COUNT: 28
PATENT INFORMATION:

| PATENT NO | KIND | DATE | WEEK | LA | PG |
|---|---|---|---|---|---|

WO 2003020200 A2 20030313 (200337)* EN
EP 1357928 A2 20031105 (200377) EN
R: AL AT BE CH CY DE DK ES FI FR GB GR IE IT LI LT LU LV MC MK NL PT RO SE SI TR
CN 1476325 A 20040218 (200430)
US 2004087483 A1 20040506 (200430)

APPLICATION DETAILS:

| PATENT NO | KIND | APPLICATION | DATE |
|---|---|---|---|
| WO 2003020200 | A2 | WO 2001-US43117 | 20011116 |
| EP 1357928 | A2 | EP 2001-273387 | 20011116 |
| | | WO 2001-US43117 | 20011116 |
| CN 1476325 | A | CN 2001-817714 | 20010822 |
| US 2004087483 | A1 CIP of | US 2000-642820 | 20000822 |
| | Provisional | US 2000-248607P | 20001116 |
| | CIP of | US 2001-933708 | 20010822 |
| | | US 2002-136433 | 20020502 |

FILING DETAILS:

| PATENT NO | KIND | PATENT NO |
|---|---|---|
| EP 1357928 | A2 Based on | WO 2003020200 |

PRIORITY APPLN. INFO: US 2001-248858P 20011116; US
2000-248530P 20001116; US
2000-248535P 20001116; US
2000-248536P 20001116; US
2000-248600P 20001116; US
2000-248601P 20001116; US
2000-248603P 20001116; US
2000-248604P 20001116; US
2000-248606P 20001116; US
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2000-248608P 20001116; US
2000-248609P 20001116; US
2000-248611P 20001116; US
2000-248686P 20001116; US
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2000-248697P 20001116; US
2000-248698P 20001116; US
2000-248701P 20001116; US
2000-248702P 20001116; US
2000-248703P 20001116; US
2000-248704P 20001116; US
2000-248705P 20001116; US
2000-248706P 20001116; US

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| 2000-248707P | 20001116; US |
| 2000-248708P | 20001116; US |
| 2000-248709P | 20001116; US |
| 2000-248710P | 20001116; US |
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| 2001-248856P | 20011116; US |
| 2001-248857P | 20011116; US |
| 2000-248524P | 20001116; US |
| 2000-642820 | 20000822; US |
| 2000-247561P | 20001114; US |

Searcher : Shears 571-272-2528

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| 2000-247594P | 20001114; US |
| 2000-247615P | 20001114; US |
| 2000-247632P | 20001114; US |
| 2000-247679P | 20001114; US |
| 2000-247684P | 20001114; US |
| 2000-247685P | 20001114; US |
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| 2000-247701P | 20001114; US |
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| 2000-248748P | 20001116; US |
| 2000-248752P | 20001116; US |
| 2000-248763P | 20001116; US |
| 2000-248770P | 20001116; US |
| 2001-933708 | 20010822; US |
| 2002-136433 | 20020502 |

AN 2003-393252 [37] WPIDS

CR 2002-519166 [55]; 2003-747944 [70]; 2003-833251 [77]; 2004-011505 [01]; 2004-062118 [06]

AB WO2003020200 A UPAB: 20031117

NOVELTY - A composition comprising a polypeptide and one of 250 pharmaceutical drugs (described in Detailed Description section of this abstract) covalently attached to the polypeptide, is new.

DETAILED DESCRIPTION - A composition comprising a polypeptide and one of 250 pharmaceutical drugs covalently attached to the polypeptide, is new.

The drugs is chosen from leuprolide acetate, levocarnitine,

levocetirizine, levofloxacin, levothyroxine, lintuzumab, lisinopril and **hydrochlorothiazide**, carbapenern antibiotic, loperamide, loracarbef, loratidine, lorazepam, losartan (optionally with **hydrochlorothiazide**), lovastatin, marimastat, mecasermin, medroxyprogesterone acetate, mefloquine, megestrol acetate, an adenosine A1 receptor antagonist, mercaptopurine, meropenem, mesalamine, mesna, metaxalone, metformin, an oral non-steroidal antiestrogen compound, methylphenidate, methylprednisone, an antifungal agent, metolazone, metoprolol, metronidazole, milrinone lactate, minocycline, mirtazapine, misoprostol, mitiglinide, mitoxantrone, mivacurium, modafinil, moexipril, montelukast, morphine, mycophenylate mofetil, nabumetone, nadolol, naproxen, naratriptan, nefazodone, nelarabine, nelfinavir, mesylate, nesiritide, nevirapine, nifedipine, nimodipine, nisoldipine, nitrofurantoin, nitroglycerin, nizatidine, norastemizole, norethindrone, norfloxacin, nortriptyline, octreotide acetate, oxycodone and acetaminophen, ofloxacin, olanzapine, omeprazole, ondansetron, oprelvekin, orlistat, orphenadrine citrate, oxaprozin, oxazepam, oxybutynin chloride, oxycodone, a gastroprokinetic compound, a macrophage colony stimulating factor, pagoclone, palivizumab, pamidronate, paricalcitol, paroxetine, pemetrexed, pemoline, penicillin V, pentosan polysulfate, pentoxifylline, pergolide, an orally active carbohydrate, phenobarbital, phenytoin, **pioglitazone**, piperacillin, pleconaril, poloxamerl, posaconazole, an insulin analogue, pramipexole, pravastatin, prednisone, pregabalin, primidone, prinomastat, prochlorperazine maleate, promethazine, a cholecystokinin antagonist, propoxyphene, propranolol, prourokinase, quetiapine fumarate, quinapril, rabeprazole, raloxifene, ramipril, ranolazine, relaxin, remacemide, repaglinide, repinotan, ribavirin, riluzole, rimantadine, risperidone, ritonavir, rizatriptanbenzoate, rocuronium, rofecoxib, ropinirole, **rosiglitazone** maleate, goserelin, rubitecan, sagramostim, saquinavir, docetaxel, satraplatin, selegiline, sertraline, sevelamer, sevirumab, sibutramine, sildenafil citrate, simvastatin, sinapultide, sitafloxacin, polystyrene sulfonate, sotalol, sparfosic acid, **spironolactone**, stavudine, sucralfate, sumatriptan, tabimorelin, Tamoxifen, tamsulosin, temazepam, tenofovir disoproxil, tepoxalin, terazosin, terbinafine, terbutaline sulfate, teriparatide, tetracycline, thalidomide, theophylline, thiotepa, thrombopoetin, tiagabine, ticlopidine, tifacogin, tirapazamine, tirofiban, tizanidine, tobramycin sulfate, tolterodine, tomoxetine, topiramate, topotecan, toresemide, TPA analogue, tramadol, trandolapril, trastuzurnab, trazadone, **triamterene**, **troglitazone**, trovafloxacin mesylate, urokinase, ursodiol, valacyclovir, valdecoxib, valproic acid, valsartan and **hydrochlorothiazide**, valspodar, vancomycin, vecuronium, venlafaxine, verapamil, vinorelbine tartrate, vitamin B1, vitamin C, voriconazole, warfarin, xaliproden, zafirlukast, zaleplon, zenarestat, zidovudine, zolmitriptan, zolpidem, bleomycin, phytoseterol, paclitaxel, fluticasone, fluorouracil, pseudoephedrine, a lipoxygenase inhibitor, a composite vascular protectant, an oral neuraminidase inhibitor, the soluble chimeric protein CTLA4Ig, a selective endothelin A receptor antagonist, a potassium channel modulator, a bactericidal/permeability increasing protein derivative, humanized monoclonal antibody (hu1124 which is directed against CD11a), a lipid lowering agent, propofol, a cholesterol/triglyceride reducer, a recombinant hepatitis B vaccine, an angiotensin antagonist, an immunosuppressant protein, daily multivitamin, erythromycin and sulfx, ethinyl estradiol and dogestrel, estradiol and dogestrel, lithium carbonate, LYM 1, methylprednisolone, rotavirus vaccine, saquinavir,

arginine, heparin, thymosin alpha, montelukast and fexofenadine, iodothyronine, iodothyronine and thyroxine, or codeine.

USE - The **compositions** are useful for delivering **pharmaceutical** agents to a patient. Covalently attaching the drug to the polypeptide protects it from degradation and controls its release from the composition (all claimed).

ADVANTAGE - The composition protects the agent from degradation, enhances the chemical stability of the agent, alters the release profile of an orally administered product, and enhances digestion, absorption and targeted delivery to particular tissue/cell type.

Dwg.0/5

L19 ANSWER 7 OF 11 WPIDS COPYRIGHT 2004 THE THOMSON CORP on STN

ACCESSION NUMBER: 2004-096822 [10] WPIDS

DOC. NO. CPI: C2004-039997

TITLE: Bioerodible, water-soluble, carrier device for loading or delivering drug or active agent, comprises non-bioadhesive backing layer, bioadhesive layer and composition comprising active ingredient.

DERWENT CLASS: A96 B05 B07 P32 P73

INVENTOR(S): BEAUDOIN, A G; HOLL, R; JEFFERS, S; KRAVIG, K; OSBORNE, D W; POSHUSTA, A; BEAUDOIN, G A

PATENT ASSIGNEE(S): (BEAU-I) BEAUDOIN A G; (HOLL-I) HOLL R; (JEFF-I) JEFFERS S; (KRAV-I) KRAVIG K; (OSBO-I) OSBORNE D W; (POSH-I) POSHUSTA A; (ATRI-N) ATRIX LAB INC

COUNTRY COUNT: 103

PATENT INFORMATION:

| PATENT NO | KIND | DATE | WEEK | LA | PG |
|---|---|---|---|---|---|
| US 2003194420 | A1 | 20031016 | (200410)* | | 21 |
| WO 2003086345 | A1 | 20031023 | (200410) | EN | |
| RW: AT BE BG CH CY CZ DE DK EA EE ES FI FR GB GH GM GR HU IE IT KE LS LU MC MW MZ NL OA PT RO SD SE SI SK SL SZ TR TZ UG ZM ZW | | | | | |
| W: AE AG AL AM AT AU AZ BA BB BG BR BY BZ CA CH CN CO CR CU CZ DE DK DM DZ EC EE ES FI GB GD GE GH GM HR HU ID IL IN IS JP KE KG KP KR KZ LC LK LR LS LT LU LV MA MD MG MK MN MW MX MZ NI NO NZ OM PH PL PT RO RU SC SD SE SG SK SL TJ TM TN TR TT TZ UA UG US UZ VC VN YU ZA ZM ZW | | | | | |
| AU 2003226353 | A1 | 20031027 | (200436) | | |

APPLICATION DETAILS:

| PATENT NO | KIND | APPLICATION | DATE |
|---|---|---|---|
| US 2003194420 | A1 | US 2002-121430 | 20020411 |
| WO 2003086345 | A1 | WO 2003-US11313 | 20030411 |
| AU 2003226353 | A1 | AU 2003-226353 | 20030411 |

FILING DETAILS:

| PATENT NO | KIND | PATENT NO |
|---|---|---|
| AU 2003226353 | A1 Based on | WO 2003086345 |

PRIORITY APPLN. INFO: US 2002-121430 20020411

AN 2004-096822 [10] WPIDS
AB US2003194420 A UPAB: 20040210
NOVELTY - A bioerodible, water-soluble, carrier device comprises:
(1) non-bioadhesive backing layer (1);
(2) bioadhesive layer (2); and
(3) composition comprising an active ingredient.
The composition is deposited onto a surface of either the non-bioadhesive backing layer or the bioadhesive layer after formation of the device.
DETAILED DESCRIPTION - INDEPENDENT CLAIMS are also included for:
(a) incorporation of a composition onto a preformed bioerodible, water-soluble carrier device comprising depositing the composition onto at least one surface of the preformed bioerodible, water-soluble carrier device to form a loaded bioerodible, water-soluble carrier device; and
(b) sustained delivery of a **pharmaceutical composition** to a mammal that comprises applying a bioerodible, water-soluble, carrier device to a mucosal surface of the mammal, where the composition is deposited onto a surface of the bioerodible, water-soluble, carrier device after formation of the bioerodible, water-soluble, carrier device.
USE - The carrier device is used for loading or delivering an active agent or a drug locally or systemically through a mucus membrane to within a mucosally lined body cavity.
ADVANTAGE - The invention eliminates the need to incorporate the active agent into a pre-film polymer mixture.
DESCRIPTION OF DRAWING(S) - The figure illustrates the post-loading method of the invention.
Non-bioadhesive backing layer 1
Bioadhesive layer 2
Composition deposit 3
Dwg.4/4

L19 ANSWER 8 OF 11 WPIDS COPYRIGHT 2004 THE THOMSON CORP on STN
ACCESSION NUMBER: 2003-730118 [69] WPIDS
CROSS REFERENCE: 1999-263624 [22]; 2003-585340 [55]; 2003-596829 [56]; 2003-720097 [68]; 2003-730119 [69]; 2003-743977 [70]; 2004-010082 [01]; 2004-041182 [04]; 2004-068835 [07]; 2004-339321 [31]; 2004-498809 [47]; 2004-498810 [47]; 2004-552334 [53]; 2004-552335 [53]; 2004-552336 [53]; 2004-552531 [53]
DOC. NO. NON-CPI: N2003-583561
DOC. NO. CPI: C2003-200736
TITLE: Propellant free buccal spray composition for providing rapid absorption of active medicaments, comprises preset amount of active compound e.g. aldosterone antagonists and/or anti-obesity drugs, and polar solvent.
DERWENT CLASS: A25 A96 B05 P34
INVENTOR(S): DUGGER, H A
PATENT ASSIGNEE(S): (DUGG-I) DUGGER H A; (NOVA-N) NOVADEL PHARMA INC
COUNTRY COUNT: 105
PATENT INFORMATION:

| PATENT NO | KIND | DATE | WEEK | LA | PG |
|---|---|---|---|---|---|
| US 2003095925 | A1 | 20030522 | (200369)* | | 15 |
| WO 2004019903 | A1 | 20040311 | (200419) | EN | |

RW: AT BE BG CH CY CZ DE DK EA EE ES FI FR GB GH GM GR HU IE IT KE LS
LU MC MW MZ NL OA PT RO SD SE SI SK SL SZ TR TZ UG ZM ZW
W: AE AG AL AM AT AU AZ BA BB BG BR BY BZ CA CH CN CO CR CU CZ DE DK
DM DZ EC EE ES FI GB GD GE GH GM HR HU ID IL IN IS JP KE KG KP KR
KZ LC LK LR LS LT LU LV MA MD MG MK MN MW MX MZ NI NO NZ OM PG PH
PL PT RO RU SC SD SE SG SK SL SY TJ TM TN TR TT TZ UA UG UZ VC VN
YU ZA ZM ZW
AU 2003262916 A1 20040319 (200462)

APPLICATION DETAILS:

| PATENT NO | KIND | APPLICATION | DATE |
|---|---|---|---|
| US 2003095925 | A1 CIP of | WO 1997-US17899 | 19971001 |
| | CIP of | US 2000-537118 | 20000329 |
| | | US 2002-230084 | 20020829 |
| WO 2004019903 | A1 | WO 2003-US26855 | 20030827 |
| AU 2003262916 | A1 | AU 2003-262916 | 20030827 |

FILING DETAILS:

| PATENT NO | KIND | PATENT NO |
|---|---|---|
| AU 2003262916 | A1 Based on | WO 2004019903 |

PRIORITY APPLN. INFO: US 2002-230084 20020829; WO 1997-US17899 19971001; US 2000-537118 20000329

AN 2003-730118 [69] WPIDS

CR 1999-263624 [22]; 2003-585340 [55]; 2003-596829 [56]; 2003-720097 [68]; 2003-730119 [69]; 2003-743977 [70]; 2004-010082 [01]; 2004-041182 [04]; 2004-068835 [07]; 2004-339321 [31]; 2004-498809 [47]; 2004-498810 [47]; 2004-552334 [53]; 2004-552335 [53]; 2004-552336 [53]; 2004-552531 [53]

AB US2003095925 A UPAB: 20040928
NOVELTY - Propellant free buccal spray composition for transmucosal administration comprises:
(1) an active compound (0.001-60 weight%); and
(2) polar solvent (30-99 weight%).
The active compound is selected from e.g. aldosterone antagonists, leukotriene receptor antagonists, glucose inhibitors, bone resorption inhibitors, antiinflammatory drugs, anti-obesity drugs and/or cytokines.
DETAILED DESCRIPTION - Propellant free buccal spray composition for transmucosal administration comprises:
(a) an active compound (0.001-60 weight%); and
(b) polar solvent (30-99 weight%).
The active compound is selected from cholesterol-lowering agents, aldosterone antagonists, triglycerides-lowering agents, leukotriene receptor antagonists, immunomodulators or immunogense, glucose inhibitors, agents for treating type II diabetes, bone resorption inhibitors, calcium absorption enhancers, insulin sensitizers, insulin enhancing agents, metabolic regulators, glycolipids, glycoproteins, antiinflammatory drugs, anti-obesity drugs, COX and/or LO inhibitors and/or cytokines.
An INDEPENDENT CLAIM is also included for method of administering active compound to a mammal, comprising spraying the composition to the oral mucosa of the mammal.
ACTIVITY - Antiinflammatory; Anorectic; Antidiabetic; Antilipemic;

Osteopathic.

No biological data given.

MECHANISM OF ACTION - Aldosterone-Antagonist; Glucose-Antagonist; Leukotriene-Antagonist; Cyclooxygenase-Inhibitor; Lipoxygenase-Inhibitor.

USE - The propellant free buccal spray composition is used for providing rapid absorption of active medicaments through the oral mucosa and also for providing fast onset of effect.

ADVANTAGE - The composition effectively provides rapid and enhanced transmucosal absorption of active medicaments. There is no chance of first pass metabolism and results in hastened onset of biological effects.

Dwg.0/1

L19 ANSWER 9 OF 11 WPIDS COPYRIGHT 2004 THE THOMSON CORP on STN

ACCESSION NUMBER: 2002-666878 [71] WPIDS
DOC. NO. CPI: C2002-187190
TITLE: Preparation of deformable syntactic foams useful as pharmaceutical carriers for the delivery of a compound or a chemical involves mixing a resin, binder and a stabilizer and reacting the mixture with an organic solvent.
DERWENT CLASS: A96 B05 B07
INVENTOR(S): ODIDI, A; ODIDI, I
PATENT ASSIGNEE(S): (ODID-I) ODIDI A; (ODID-I) ODIDI I
COUNTRY COUNT: 100
PATENT INFORMATION:

| PATENT NO | KIND | DATE | WEEK | LA | PG |
|---|---|---|---|---|---|
| WO 2002056861 | A2 | 20020725 | (200271)* | EN | 47 |
| RW: AT BE CH CY DE DK EA ES FI FR GB GH GM GR IE IT KE LS LU MC MW MZ NL OA PT SD SE SL SZ TR TZ UG ZM ZW | | | | | |
| W: AE AG AL AM AT AU AZ BA BB BG BR BY BZ CA CH CN CO CR CU CZ DE DK DM DZ EC EE ES FI GB GD GE GH GM HR HU ID IL IN IS JP KE KG KP KR KZ LC LK LR LS LT LU LV MA MD MG MK MN MW MX MZ NO NZ OM PH PL PT RO RU SD SE SG SI SK SL TJ TM TN TR TT TZ UA UG US UZ VN YU ZA ZM ZW | | | | | |
| AU 2002226223 | A1 | 20020730 | (200427) | | |

APPLICATION DETAILS:

| PATENT NO | KIND | APPLICATION | DATE |
|---|---|---|---|
| WO 2002056861 | A2 | WO 2002-CA54 | 20020117 |
| AU 2002226223 | A1 | AU 2002-226223 | 20020117 |

FILING DETAILS:

| PATENT NO | KIND | PATENT NO |
|---|---|---|
| AU 2002226223 | A1 Based on | WO 2002056861 |

PRIORITY APPLN. INFO: US 2001-765783 20010119

AN 2002-666878 [71] WPIDS

AB WO 200256861 A UPAB: 20021105

NOVELTY - Preparation of a deformable syntactic foam comprises (a) mixing together at least one homopolymer resin, at least one binder and at least

one stabilizer to form a blended mixture having a LOD of 1 - 10%, and (b) reacting the blended mixture with at least one organic solvent under high shear conditions at 10 - 25 deg. C until a foam composition deformable to touch is formed.

DETAILED DESCRIPTION - INDEPENDENT CLAIMS are included for the following:

(1) Manufacturing a pharmaceutical carrier comprising:

(a) mixing together at least one homopolymer resin, binder, microspheres and stabilizer to form a blended mixture having a LOD of 1 - 10%,

(b) reacting the blended mixture with at least one organic solvent under high shear conditions at 10 - 25 deg. C until a foam composition deformable to touch is formed;

(c) reducing the size of the deformable syntactic foam to reassemble into a shaped composite;

(2) A **pharmaceutical composition** comprising a **pharmaceutical** and a **pharmaceutical** carrier; and

(3) A syntactic foam of elongate threads comprising homopolymer resin, binder, microsphere and a stabilizer.

USE - As a pharmaceutical carrier for the delivery of a compound or a chemical (claimed) including pharmaceuticals. Also useful as carriers, coated or uncoated for chemicals, biological agents, nutraceuticals, growth factors, amino acids, bioactive materials and pharmaceutically active and inactive materials and have pharmaceutical, sanitary, veterinary, agricultural and medical applications.

ADVANTAGE - The foam is deformable and compressible. The foam permits the time release of pharmaceuticals in mammals particularly humans and reduces the frequency of taking a particular medicine. The foam is safe, stable and can be prepared by economical and versatile manufacturing processes.

Dwg.0/9

L19 ANSWER 10 OF 11 WPIDS COPYRIGHT 2004 THE THOMSON CORP on STN

ACCESSION NUMBER: 2003-015683 [01] WPIDS

CROSS REFERENCE: 1999-204733 [17]; 2000-170837 [15]; 2001-432562 [46]; 2001-522427 [57]; 2001-595790 [67]; 2002-082346 [11]; 2002-215543 [27]; 2002-215909 [27]; 2002-315576 [35]; 2002-328338 [36]; 2002-462521 [49]; 2002-635742 [68]; 2002-666828 [71]; 2002-696871 [75]; 2003-198106 [19]; 2003-238931 [23]; 2003-417948 [39]; 2003-627162 [59]; 2003-776923 [73]

DOC. NO. NON-CPI: N2003-011643

DOC. NO. CPI: C2003-003717

TITLE: New method for determining and utilizing the circulating blood of a living being over a range of shear rates, useful for e.g. the treatment of peripheral arterial disease.

DERWENT CLASS: A96 B07 C07 P31 S05 T01

INVENTOR(S): KENSEY, K R

PATENT ASSIGNEE(S): (KENS-I) KENSEY K R

COUNTRY COUNT: 1

PATENT INFORMATION:

| PATENT NO | KIND | DATE | WEEK | LA | PG |
|---|---|---|---|---|---|
| US 2002061835 | A1 | 20020523 | (200301)* | | 40 |

APPLICATION DETAILS:

| PATENT NO | KIND | APPLICATION | DATE |
|---|---|---|---|
| US 2002061835 | A1 CIP of | US 1997-919906 | 19970828 |
| | CIP of | US 1999-439795 | 19991112 |
| | CIP of | US 2000-501856 | 20000210 |
| | CIP of | US 2000-628401 | 20000801 |
| | CIP of | US 2000-727950 | 20001201 |
| | | US 2001-828761 | 20010409 |

PRIORITY APPLN. INFO: US 2001-828761 20010409; US
1997-919906 19970828; US
1999-439795 19991112; US
2000-501856 20000210; US
2000-628401 20000801; US
2000-727950 20001201

AN 2003-015683 [01] WPIDS

CR 1999-204733 [17]; 2000-170837 [15]; 2001-432562 [46]; 2001-522427 [57]; 2001-595790 [67]; 2002-082346 [11]; 2002-215543 [27]; 2002-215909 [27]; 2002-315576 [35]; 2002-328338 [36]; 2002-462521 [49]; 2002-635742 [68]; 2002-666828 [71]; 2002-696871 [75]; 2003-198106 [19]; 2003-238931 [23]; 2003-417948 [39]; 2003-627162 [59]; 2003-776923 [73]

AB US2002061835 A UPAB: 20031112

NOVELTY - Method of distribution and administration of a substance through a bloodstream of an organism, by: (a) monitoring at least one blood flow parameter selected from e.g. circulating blood viscosity,absolute viscosity; (b) administering the substance to the organism through the bloodstream; and (c) distributing at least part of the substance to at least one target within the organism, is new.

DETAILED DESCRIPTION - Method of distribution and administration of a substance through a bloodstream of an organism comprises:

(a) monitoring at least one blood flow parameter selected from circulating blood viscosity, absolute viscosity, effective viscosity, low shear viscosity, high shear viscosity, shear rate of circulating blood, work of heart, contractility of heart, thrombogenicity, platelet aggregation, lubricity, red blood cell deformability, thixotropy, yield stress, coagulability, coagulation time, agglutination, clot retraction, clot lysis time, sedimentation rate and prothrombin rate;

(b) administering the substance to the organism so that an amount of the substance enters the bloodstream; and

(c) distributing at least part of the substance to at least one target within the organism, wherein a distribution parameter of the distribution is adjusted by altering at least one blood flow parameter.

INDEPENDENT CLAIMS are also included for the following:

(1) a method for distributing a substance through the circulatory system to at least one target in an organism, involving the improvement of at least one blood flow parameter selected from circulating blood viscosity, absolute viscosity, effective viscosity, low shear viscosity, high shear viscosity, shear rate of circulating blood, work of heart, contractility of heart, thrombogenicity, platelet aggregation, lubricity, red blood cell deformability, thixotropy, yield stress, coagulability, coagulation time, agglutination, clot retraction, clot lysis time, sedimentation rate and prothrombin rate, is monitored and altered to

control distribution; and

(2) a composition for administration to an organism with a circulatory system, the **composition** comprising: (a) a **pharmaceutically** active agent; and (b) a distribution agent effective to increase or decrease distribution of the pharmaceutically active agent through the system, by increasing at least one blood flow parameter selected from circulating blood viscosity, absolute viscosity, effective viscosity, low shear viscosity, high shear viscosity, shear rate of circulating blood, work of heart, contractility of heart, thrombogenicity, platelet aggregation, lubricity, red blood cell deformability, thixotropy, yield stress, coagulability, coagulation time, agglutination, clot retraction, clot lysis time, sedimentation rate and prothrombin rate, provided that the distribution agent is not a diluent.

ACTIVITY - Circulatory-Gen.

No suitable biological data given.

MECHANISM OF ACTION - None given in source material

USE - The methods of the invention are for determining and using the viscosity of circulating blood for diagnostics and treatment, in particular for detecting/reducing blood viscosity, work of the heart, for detecting/reducing the surface tension of blood, for detecting/reducing plasma viscosity, explaining/countering endothelial cell dysfunction, providing high and low blood vessel wall shear stress data, red blood cell deformability, lubricity of blood, and for treating e.g. peripheral arterial diseases.

ADVANTAGE - The invention enables the viscosity of the blood of a living being in vivo to be obtained over a range of shears and in a short time span.

Dwg.0/20

L19 ANSWER 11 OF 11 WPIDS COPYRIGHT 2004 THE THOMSON CORP on STN

ACCESSION NUMBER: 2001-475649 [51] WPIDS

CROSS REFERENCE: 2000-587124 [55]; 2001-091750 [10]; 2001-244222 [25]; 2002-508310 [54]; 2002-556413 [59]; 2003-615989 [58]; 2003-678184 [64]; 2004-141477 [14]; 2004-178820 [17]; 2004-190101 [18]

DOC. NO. CPI: C2001-142565

TITLE: Solid composition for delivery of active agents e.g. glyburide comprises carrier optionally containing a substrate having an encapsulation coat containing hydrophilic surfactants e.g. polyoxyethylene alkylethers.

DERWENT CLASS: A96 B05 B07

INVENTOR(S): CHEN, F; PATEL, M V

PATENT ASSIGNEE(S): (LIPO-N) LIPOCINE INC; (CHEN-I) CHEN F; (PATE-I) PATEL M V

COUNTRY COUNT: 95

PATENT INFORMATION:

| PATENT NO | KIND | DATE | WEEK | LA | PG |
|---|---|---|---|---|---|
| WO 2001037808 | A1 | 20010531 | (200151)* | EN | 106 |

RW: AT BE CH CY DE DK EA ES FI FR GB GH GM GR IE IT KE LS LU MC MW MZ NL OA PT SD SE SL SZ TR TZ UG ZW

W: AE AG AL AM AT AU AZ BA BB BG BR BY BZ CA CH CN CR CU CZ DE DK DM DZ EE ES FI GB GD GE GH GM HR HU ID IL IN IS JP KE KG KP KR KZ LC LK LR LS LT LU LV MA MD MG MK MN MW MX MZ NO NZ PL PT RO RU SD SE SG SI SK SL TJ TM TR TT TZ UA UG UZ VN YU ZA ZW

US 6248363 B1 20010619 (200151)
AU 2001017981 A 20010604 (200153)
EP 1233756 A1 20020828 (200264) EN
R: AL AT BE CH CY DE DK ES FI FR GB GR IE IT LI LT LU LV MC MK NL PT RO SE SI TR
US 2003064097 A1 20030403 (200325)
US 6569463 B2 20030527 (200337)
JP 2003517470 W 20030527 (200344) 118
US 2003215496 A1 20031120 (200377)

APPLICATION DETAILS:

| PATENT NO | KIND | APPLICATION | DATE |
|---|---|---|---|
| WO 2001037808 | A1 | WO 2000-US32255 | 20001122 |
| US 6248363 | B1 | US 1999-447690 | 19991123 |
| AU 2001017981 | A | AU 2001-17981 | 20001122 |
| EP 1233756 | A1 | EP 2000-980761 | 20001122 |
| | | WO 2000-US32255 | 20001122 |
| US 2003064097 | A1 Div ex | US 1999-447690 | 19991123 |
| | | US 2001-800593 | 20010306 |
| US 6569463 | B2 Div ex | US 1999-447690 | 19991123 |
| | | US 2001-800593 | 20010306 |
| JP 2003517470 | W | WO 2000-US32255 | 20001122 |
| | | JP 2001-539423 | 20001122 |
| US 2003215496 | A1 Div ex | US 1999-447690 | 19991123 |
| | Cont of | US 2001-800593 | 20010306 |
| | | US 2003-428341 | 20030501 |

FILING DETAILS:

| PATENT NO | KIND | PATENT NO |
|---|---|---|
| AU 2001017981 | A Based on | WO 2001037808 |
| EP 1233756 | A1 Based on | WO 2001037808 |
| US 2003064097 | A1 Div ex | US 6248363 |
| US 6569463 | B2 Div ex | US 6248363 |
| JP 2003517470 | W Based on | WO 2001037808 |
| US 2003215496 | A1 Div ex | US 6248363 |
| | Cont of | US 6569463 |

PRIORITY APPLN. INFO: US 1999-447690 19991123; US 2001-800593 20010306; US 2003-428341 20030501

AN 2001-475649 [51] WPIDS

CR 2000-587124 [55]; 2001-091750 [10]; 2001-244222 [25]; 2002-508310 [54]; 2002-556413 [59]; 2003-615989 [58]; 2003-678184 [64]; 2004-141477 [14]; 2004-178820 [17]; 2004-190101 [18]

AB WO 200137808 A UPAB: 20040426
NOVELTY - Composition for improved delivery of active agent comprising a solid carrier optionally containing a substrate having an encapsulation coat, where the solid carrier or encapsulation coat contains at least one active agent (I) and one hydrophilic surfactant (II), is new.
ADVANTAGE - The composition is used to deliver a wide variety of active agents having improved absorption and/or biovailability. It provides coated substrate materials without the need for binders. Prior

art solid carriers are limited to a few specific drugs due to difficulties in formulating appropriate **drug**/exicipient **compositions** to effectively coat the active agent onto a carrier particle. Most of prior art solid dosage forms of hydrophilic active agents exhibit poor or no absorption of the active agent. Non-solid formulations of the same are chemically instable, leak and have capsule shell incompatibility. Conventional solid dosage forms of hydrophobic active agents often exhibit slow and incomplete dissolution and subsequent absorption. They often show a high propensity for biovariability and food interactions of the active agent, resulting in restrictive compliance/labeling requirements. A comparative dissolution study was performed on 3 forms of glyburide (Ia) namely coated beads of (Ia), commercially available (Ia) and pure (Ia) bulk. 5 mg Of each form was usd for triplication dissolution runs in 500 ml of isotonic pH 7.4 phosphate buffer.The dissolutiom medium was sampled at 15, 30, 45, 60, 120 and 180 minutes. The samples were filtered and the filtrates diluted for (Ia)-specific HPLC assay. The (Ia)-coated beads showed a superior dissolution profile in the rate, extent and variability of (Ia) dissolved/released into the medium.
Dwg.0/3

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